09893585 09/30/05

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NEWS 1 Web Page URLs for STN Seminar Schedule - N. America

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NEWS 4 AUG 11 STN AnaVist workshops to be held in North America

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NEWS 6 AUG 30 CASREACT - Enhanced with displayable reaction conditions

NEWS 7 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY

NEWS 8 SEP 22 MATHDI to be removed from STN

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability

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NEWS LOGIN Welcome Banner and News Items

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FILE 'HOME' ENTERED AT 11:09:57 ON 03 OCT 2005

=> file reg

COST IN U.S. DOLLARS SINCE FILE

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 11:10:07 ON 03 OCT 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

SAEED

Page 1

09893585 09/30/05

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 OCT 2005 HIGHEST RN 864354-42-7 DICTIONARY FILE UPDATES: 2 OCT 2005 HIGHEST RN 864354-42-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*\*

\* The CA roles and document type information have been removed from \*

\* the IDE default display format and the ED field has been added, \*

\* effective March 20, 2005. A new display format, IDERL, is now \*

\* available and contains the CA role and document type information. \*

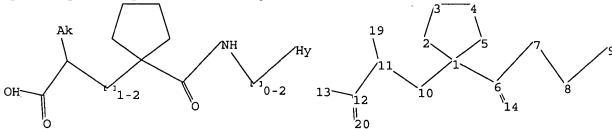
\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\Program Files\Stnexp\Queries\09893585.str



chain nodes : 6 7 8 9 10 11 12 13 ring nodes : 1 2 3 4 5 chain bonds : 1-6 1-10 6-7 7-8 8-9 10-11 11-12 11-19 12-13 12-20 6-14 ring bonds : 1-2 1-5 2-3 3-4 exact/norm bonds : 1-2 1-5 2-3 3-4 4-5 6-7 6-14 7-8 8-9 11-19 exact bonds : 1-6 1-10 10-11 11-12 normalized bonds : 12-13 12-20

Page 2

09893585 09/30/05

Match level :

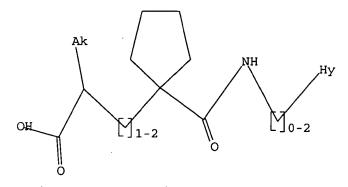
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 11:10:29 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -

100 TO ITERATE

100.0% PROCESSED

100 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

1401 TO 2599

PROJECTED ANSWERS:

8 TO 329

L2

8 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 11:10:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 2052 TO ITERATE

100.0% PROCESSED 2052 ITERATIONS

173 ANSWERS

SEARCH TIME: 00.00.01

L3173 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 161.33 161.54

Page 3 SAEED 09893585 09/30/05

FILE 'CAPLUS' ENTERED AT 11:10:58 ON 03 OCT 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 3 Oct 2005 VOL 143 ISS 15 FILE LAST UPDATED: 2 Oct 2005 (20051002/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 28 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
2005:61832 CAPLUS
143:91081
Neutral endopeptidase (NEP) and human soluble endopeptidase (HSEP) inhibitors for prophylaxis and treatment of neurodegenerative disorders
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
DOCUMENT TYPE:
PARENT TYPE:
PARENT INFORMATION:
1

CODEN: USXXCO
PATENT INFORMATION:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

US 2005153936 A1 20050714 US 2005-30043 20050107
WO 2005067937 A1 20050728 WO 2005-6F50075 20050107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, F1, GB, GD, EK, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MK, MZ, NA, NI, NO, NZ, CM, FC, FH, FL, FT, RO, RU, SC, SD, SE, SC, SS, SL, SL, TJ, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, 2A, 2H, 2W RW: BW, GM, CM, KE, HS, MW, MZ, MA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, F1, FR, BG, GR, HU, LE, IS, TI, LT, LU, MC, NL, FL, FL, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN: INFO::

US 2004-535538P P 20040510 APPLICATION NO.

HR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

WE 2004-535538P P 20040112

AB The invention discloses the use of benzazepine, benzoxazepine, benzoxazepine, benzoxazepine, derivs. having neutral endopeptidase (NEP) and/or human soluble endopeptidase (hSEP) inhibitory activity. The compds. of the invention are useful for the preparation of pharmaceutical compns. for prophylaxis and treatment of neurodegenerative disorders. The compds. of the invention are known from the European patents EP 0 733 642 and EP 0 916 679.

IT iszezi-29-0 legzi-29-0D, salts 182221-33-6

RE: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(benzazepine, benzoxazepine, benzothiazepine-N-acetic acid and phosphono-substituted benzazepinone derivs. for prophylaxis and treatment of neurodegenerative disorders)

EN 182221-29-0 CAPLUS

CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-1/2D]-2] phenylbutyllcvalenes.

182821-29-0 CAPUS

HH-lBenzazepine-1-acetic acid, 3-{{[1-{(2R)-2-carboxy-4-phenylbuty]; cyclopenty]|carbonyl]amino]-2, 3, 4, 5-tetrahydro-2-oxo-, (35)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

### 09/30/05

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

182821-29-0 CAPLUS

IH-1-Benzazepine-1-acetic acid, 3-[[[1-{(2R)-2-carboxy-4-phenylbuty][cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9C1) [CA INDEX NAME]

182821-33-6 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[(2R)-2-carboxy-4-[1-naphthalenyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(3S)- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

182821-33-6 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[1-[(2R)-2-carboxy-4-(1-naphthalenyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
113:13345
TITLE:
TITLE:
Pharmacautical compositions for the treatment of renal dysfunction, disease or disorder, in particular in diabetic patients
INVENTOR(S):
Thormachlen, Dirk: Hocher, Berthold; Waldeck, Harald Solvay Pharmaceuticals G.m.b.H., Germany
PCT Int. Appl., 27 pp.
CODEN: PIXXD2
Patent
LANGUAGE:
PARILY ACC. NUM. COUNT:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT		NO.			KIN	D	DATE						NO.		D.	ATE	
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								DE.										
			GE.	GH,	GM,	HR,	HU,	ID.	IL,	IN.	15.	JP.	KE.	KG.	KP.	KR.	KZ.	LC
			LK.	LR,	LS,	LT,	LU,	LV.	MA.	MD.	MG.	MK.	MN.	MW.	MX.	MZ.	NA.	NI
			NO,	NZ,	QM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK.	SL,	SY
			ŤJ,	TM,	TN,	TR,	TT,	T2,	UA,	UG,	US,	UZ,	VC.	VN,	YU,	ZA.	ZM.	ZW
		RW:	BW.	GH.	GM,	KE,	LS,	MW,	MZ,	NA.	SD,	SL,	SZ,	TZ.	UG,	ZM.	ZV.	AM.
			AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AΤ,	BE,	BG,	CH,	CY,	CZ,	DE,	DK.
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LU,	MC,	NL,	PL.	PT,	RO.
			SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
			NE,	SN,	TD,	TG												
	US	2005	1371	83		A1		2005	0623		US 2	004-	9888	47		2	0041	116
10	RIT	/ APP	LN.	INFO	. :						EP 2	003-	1042	64	1	A 2	0031	118
											US 2	003-	5231	06P	1	P 2	0031	119

OTHER SOURCE(S): MARPAT 143:13345

AB The present invention relates to a novel use of benzazepine-N-acetic acid derivs, which contain an oxo-group in the a-position to the nitrogen atom and are substituted in position 3 by a 1(carboxyalky1) cyclopentylcarbonylamino radical, and/or of their salts and biolabile seters, and/or of physiol. acceptable solvates thereof, in larger mammals and particularly in humans, preferably human patients having diabetes, and to the production of pharmaceutical compns. and products

having diabetes, and to the production of pharmaceutical compns. and products suitable for the novel treatment. The invention particularly relates to the treatment and/or prophylaxis of renal dysfunction, disease or disorder, preferably in diabetic patients, but in a broader sense also in patients with syndrome X or in particular in patients with a renal dysfunction, disease and /or disorder, which patients are in addition hypertensive, obese, hyperglycemic and/or subject to metabolic disorder.

IT 122560-86-7 102560-97-0 182021-29-0

RL: PAC (Pharmacological activity), PEP (Physical, engineering or chemical process); PTP (Physical) process); PTP (Physical) process); STD (Sicological study); PROC (Process); USES (Uses) (compns. for the treatment of renal dysfunction in diabetic patients)

RN 122560-86-7 CAPLUS

RN 112560-86-7 CAPLUS

Page 5

ANSWER 2 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

182560-97-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,a-(phenylmethyl) ester {9CI} (CA INDEX NAME)

182821-29-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-{[[1-((2R)-2-carboxy-4-phenylbuty]]cyclopentyl]carbonyl]amino}-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) [CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER:

DOCUMENT NUMBER:

142:373707

111LE:

Preparation of sminocarbonylpropylcyclopentanecarbonyl amino oxobenzazepineacetates as inhibitors of neutral and human soluble endopeptidases for the treatment of cardiovascular disease, hypertension, sexual dysfunction, and apoptosis and as neuroprotective agents

INVENTOR(5):

Hoeltje, Dagmar, Fischer, Yvan, Ziegler, Dieter, Weske, Michaell Michaelis, Kathrin, Karimi-Nejad, Yasmin, Hessinger, Josef, Pahl, Axel, Hoefer, Constance, Ikonomidou, Hrissanthi, Turski, Lechoslaw Source:

DOCUMENT TYPE:

LANGUAGE:

DOCUMENT TYPE:

LANGUAGE:

PATIENT INFORMATION:

DOCUMENT TYPE:

LANGUAGE:

PATIENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE WO 2005030795

W: AE, AC, A

CN, CO, C

GE, GH, G

LK, LR, L

NO, NZ, O

TJ, TH, T

RW: EW, GH, G

AZ, BY, K

EE, ES, F

SI, SK, T

SN, TD, T

DE 1034848

US 2005119247

PRIORITY APPLN. INFO:: A1 20050407 WO 2004-EF52289 2004093

AM, AT, AD, VA, AZ, EA, BB, BG, BR, EW, BY, BZ, CA, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, XZ, LC, LT, LU, LV, MA, MD, MG, HK, MN, MW, MX, MZ, NA, NI, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TR, TT, TZ, UA, UG, US, UZ, VC, VN, VU, ZA, ZM, ZW, AK, KZ, LS, MY, MZ, NA, DS, SL, SZ, TZ, UG, ZM, ZW, AM, XZ, MD, RU, TM, TM, TB, EB, BG, CH, CY, CZ, DE, DX, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, DE 2003-10344848
US 2004-948843
DE 2003-10344848
EP 2004-100065
US 2003-530990P
US 2004-535505P 20040924 20030926 20040112 OTHER SOURCE(S): MARPAT 142:373707

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

(carboxyl) mainocarbonylpropyl cyclopentanearbonylamino-substituted oxobenzarepineacetates I [Ri = H, biolabile moiety; RZ = H, alkyl, (un)substituted hydroxyalkyl; RJ = alkyl, (un)substituted alkoxyalkyl, hydroxyalkyl; NRZR3 = (un)substituted heterocyclyl; R4 = H, biolabile moietyl such as II are prepared as inhibitors of neutral and human soluble endopeptidases for the treatment of cardiovascular disease, hypertension, sexual dysfunction, and apoptosis and for use as neuroprotective agents. Benzyl alc. and itaconic acid anhydride react regioselectively to give PhCHOZCCHCC:(CHZ)(COZH which is esterified with ethanol followed by Michael addition of the dienolate of cyclopentaneacrboxylic acid to give the substituted cyclopentaneacrboxylic acid III; mmidation of III with the

### 09/30/05

L4 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:419918 CAPLUS
DOCUMENT NUMBER: 143:125863
TITLE: BRUTUS: Optimization of a Grid-Based Similarity
Punction for Rigid-Body Molecular Superposition. 1.
AUTHOR(\$): Tervo, Anu J., Renkkee, Toni, Nyroenen, Tommi H.,
Poso, Anti.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, University of
Kuopio, Kuopio, 70211, Finland
Journal of Medicinal Chemistry (2005), 48(12),
4076-4086
CODEN: JMCMAR, ISSN: 0022-2623

PUBLISHER: American Chemical Society
JOURNAL
BA We have developed a fast grid-based algorithm, BRUTUS, for rigid-body mol.
superposition and similarity searching. BRUTUS aligns mols. using field
information derived from charge distributions and van der Waals shapes of
the compds. Mols. can have similar biol. properties if their charge
distributions and shapes are similar, even though they have different
chemical structures; i.e., BRUTUS can identify compds, possessing similar
properties, regardless of their structures. In this paper, we present two
applications of BRUTUS. First, BRUTUS was used to superimpose five sects
of inhibitors. Second, two sets of known inhibitors were searched from a
database, and the results were snallyzed using self-organizing maps. We
demonstrate that BRUTUS is successful in superimposing compds. using mol.
fields and, importantly, is fast and accurate enough for virtual screening
of chemical databases using a standard personal computer. This fast and
efficient mol.-field-based algorithm is applicable for virtual screening
of structurally diverse, active mols.

IT 125863

129980-23-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(BRUTUS algorithm for rigid-body mol. superposition and similarity

searching) 129980-23-0 CAPLUS

L-Tryptophan, N-[[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
nonracemic aminoexobenzazepineacetate IV, hydrogenolysis of the benzyl
group, and sepn. of the disstereomers by preparative HPLC, amidation with
isopropylamine, and hydrolysis of the Et and tert-Bu esters yields II and
its aminocarbonylpropyl side chain disstereomer. Biol. data for the
inhibition of neutral endopeptidase and human sol. endopeptidase by some
of the title compds. and for the anthypertensive, antiapoptotic, and
neuroprotective activities of some of the title compds. are given.
Methods for the prepn. of the title compds. are given.
Methods for the prepn. of the title compds. are claimed.

II 849531-63-89 849531-66-99 849531-58-1P
849531-63-89 849532-20-89 849532-25-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SFN (Synthetic
preparation); RHU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate) preparation of
aminocarbonylpropylcyclopentamecarbonylamino
oxobenzazepineacetases as inhibitors of endopeptidase for the treatment
of hypertension, sexual dysfunction, apoptosis, and brain damage)

RN 849531-65-8 CAPLUS

CN HH-1-Benzazepine-1-acetic acid, 3-[[[1-{(2R)-2-carboxy-4-[(3-hydroxypropyl)amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5tetrahydro-2-oxo-, (3S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849631-66-9 CAPLUS

INI-leansatepine-l-sectic acid, 3-[[]1-[(25)-2-carboxy-4-[(3-hydroxyrropyl)amino]-4-oxobutyl]cyclopentyl]carboxyl]mino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849631-68-1 CAPLUS

IH-1-Benzazepine-1-scetic acid, 3-[[[1-[2-carboxy-4-[(1-methylethyl)amino]-4-oxobutyl]cyclopentyl|carbonyl|amino]-2,3,4,5-tetrahydro-2-oxo-,

α-(1,1-dimethylethyl) ester, (3S)- (9CI) (CA INDEX NAME)

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849631-88-5 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl (1-methylethyl) amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-20-8 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[{[1-{4-[(3-aminopropyl)ethylamino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl}amino]-2,3,4,5-tetrahydro-2-oxo-,(35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-25-3 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl](1-methylethyl) amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, a-ethyl ester, (3S)- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 849631-40-9 CAPLUS HH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(dimethylamino)-4-cxbuty1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) INDEX NAME)

849631-41-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(diethylamino)-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

849631-42-1 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(2-hydroxyethy])acthylamino]-4-oxobuty]|cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

849631-43-2 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(3-hydroxyropy)]aethylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9C1) (CA INDEX NAME)

### 09/30/05

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

II 849631-39-6P 849631-40-9P 849631-61-0P
849631-42-1P 849631-43-2P 849631-41-P
849631-43-4P 849631-43-2P 849631-40-F
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849631-80-7P 849631-81-8P 849631-85-2P
849631-80-7P 849631-81-8P 849631-82-P
849631-80-7P 849631-81-8P 849631-82-P
849631-81-0P 849631-81-8P 849631-82-P
849632-03-9P 849631-81-8P 849631-81-P
849632-03-9P 849632-10-6P 849632-11-7P
849632-13-P
849632-13-P
849632-13-P
849632-21-9P 849632-20-7P 849632-10-P
849632-21-9P 849632-20-7P 849632-30-OP
849632-31-P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Uses)
(drug candidate; preparation of
aminocarbonylpropylcyclopentanecarbonylamino
oxobenzarepinesectates as inhibitors of endopeptidase for the treatment of hypertension, sexual dysfunction, apoptosis, and brain damage)
RN 849631-39-6 CAPIUS

RN 849631-39-6 CAPIUS

CN H1-1-Benzarepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl](1-methylethyl)amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4, 5tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849631-44-3 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[4-hydroxy-1-piperidinyl]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4, 5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

849631-46-5 CAPLUS 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(4-morpholiny1)-4-oxobuty1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

849631-47-6 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-{{[1-{2-carboxy-4-oxo-4-(4-oxo-1-piperidiny1)buty1}cyclopenty1}carbony1}amino]-2,3,4,5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

849631-48-7 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[{1-[4-[bis(2-hydroxyethyl)amino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4, 5-tetrahydro-2-oxo-[9CI] (CA INDEX NAME)

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

849631-52-3 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl1[2-(methylainino)+thyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

84963]-53-4 CAPLUS

IH-1-Benzazepine-1-acetic acid, 3-[{[1-[4-[(4-aminobutyl]methylamino]-2-carboxy-4-oxobutyl]gyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(9CI) (CA INDEX NAME)

849631-54-5 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[(4-aminobuty1)ethylamino]-2-carboxy-4-oxobuty1]cyclopenty1]carbony1]amino]-2, 3, 4, 5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

09/30/05

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849631-49-8 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[ethyl[3-(ethylamino)propyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(9CI) (CA INDEX NAME)

849631-50-1 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[[2-(dimethylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9C1) (CA INDEX NAME)

849631-51-2 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[(3-aminopropyl)ethylamino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4,5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 849631-55-6 CAPLUS 
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl[3-(methylamino)propyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo- (9CI) (CA INDEX NAME)

849631-56-7 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[1-(4-[(5-aminopentyl)methylamino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrabydro-2-oxo-(9CI) (CA INDEX NAME)

849631-58-9 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[(2R)-2-carboxy-4-[(1-methylethyl)amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrabydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849631-59-0 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[(2S)-2-carboxy-4-[{1-methylethyl)amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-terrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

RN 849631-67-0 CAPLUS
CN 1H-1-Benzazepine-1-scetic acid, 3-[{[1-[2-carboxy-4-[(3-hydroxypropy)] saino]-4-oxobuty][cyclopenty][carbony]] anino]-2,3,4,5-tetrahydro-2-oxo-, a-ethyl ester, (3S)- [9CI] (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-72-7 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(2-methoxyethyl) amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrabydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-74-9 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(hexahydro-2-oxo-lH-azepin-3-y)] amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 849631-79-4 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-{[[1-[2-carboxy-4-oxo-4-[(2-oxo-2-phenyl-lethyl)amino]butyl]cyclopentyl[carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (38)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 849631-80-7 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4[(cycloperpylaethyl)amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 849631-81-8 CAPLUS
CN 1H-1-Benzazepine-1-actic acid, 3-{[[1-[2-carboxy-4-[[(4-methoxypheny)]methyl]amino]-4-oxobuty][cyclopentyl]carbonyl]emino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# 09/30/05

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 849631-76-1 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[1-(2-carboxy-4-(4-morpholiny1)-4-oxbuty1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-77-2 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-{[[1-[2-carboxy-4-[{2-(4-methoxyphenyi)-2-oxoethyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-78-3 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-[(1,1-dimethyl-3-oxobutyl)amino]-4-oxobutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

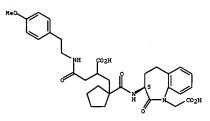
Absolute stereochemistry.

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 849631-82-9 CAPLUS

CN IH-1-Benzazepine-1-actic acid, 3-[[[1-[2-carboxy-4-[[2-(4-methoxyphenyl)ethyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 849631-83-0 CAPLUS
CN HH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[[[2-methoxyphenyl]methyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-84-1 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-oxo-4[(phenylmethyl)amino]butyl}cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro2-oxo-, (3S)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 849631-85-2 CAPLUS CN 1H-1-Benzazpine-1-acetic acid, 3-[[[1-[2-carboxy-4-(methylamino)-4-oxobuty]]cyclopenty][carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-97-6 CAPLUS

CN IH-1-Benzazepine-1-acetic acid, 3-{[[1-[2-carboxy-4-[(1-methylethyl) amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-οxo-,
α-[(2-mathoxyethoxy)methyl] ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849631-99-8 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(2-hydroxyethyl)methylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 849632-07-1 CAPLUS
CN HH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[(2,3-dihydroxyproyr)]methylamino]-4-oxobuty]]cyclopentyl]carbonyl]amino]2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

NN 849632-08-2 CAPLUS
NH-1-Benzazepine-1-acetic acid, 3-[{[1-[2-carboxy-4-[athy1[3-(ethylamino)propyl]amino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849632-10-6 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-4-(dimethylamino)-4-oxobuty1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/30/05

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 849632-01-5 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-oxo-4-(4-oxo-1-piperidiny]]butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

NN 849632-05-9 CAPLUS
NN 1H-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-4-oxo-4-[1-pyrrolidinyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849632-06-0 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-4-[3-(hydroxymethyl)-1-piperidinyl]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2, 3, 4, 5-tetrahydro-2-oxo-, (35)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 849632-11-7 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-{[[1-{2-carboxy-4-(diethylamino)-4-oxobuty]]cyclopenty]|carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849632-12-8 CAPLUS
CN 1H-1-Banzazepine-1-acetic acid, 3-[[1-[2-carboxy-4-[(3-hydroxypropy1)methylamino]-4-oxobuty1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 849632-13-9 CAPLUS
CN HH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(4-hydroxy-1-piperidiny]]-4-oxobuty]]cyclopenty]carbony]amino]-2, 3, 4, 5-tetrahydro-2-oxo-, (33)- (9CI) (CA INDEX NAME)

849632-14-0 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[bis(2-hydroxyethyl)amino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

849632-15-1 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-[{2-(dimethylamino) ethyl]methylamino]-4-oxobutyl]cyclopentyl]carbonyl}amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-16-2 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[[3-(dimethylamino)propyl]methylamino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849632-27-5 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[(4-aminobuty1)methylamino]-2-carboxy4-avcbuty-1]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(3S)- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-28-6 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[1-{4-[(4-aminobutyl)ethylamino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(35)- (9CI) (CA INDEX NAME)

849632-29-7 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[{[1-[2-carboxy-4-[methyl[3-(methylaino]r-d-oxobutyl]-cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### 09/30/05

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

849632-19-5 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[[3-aminopropyl]methylamino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-21-9 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[methyl1[2-(methylanino]+thyl]anino]-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

849632-23-1 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[4-(4-[(2S)-2-amino-3-methyl-1-oxobutoxy]-1-piperidinyl]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS OR STN (Continued)

849632-30-0 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[(5-aminopentyl)methylamino]-2-carboxy-4-oxobutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

849632-31-1 CAPLUS IN-1-Benzazepine-1-acetic acid, 3-[[[1-[4-[(5-aminopentyl)ethylamino]-2-carboxy-4-oxobutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 849632-33-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(drug candidate; preparation of aminocarbonylpropylcyclopentanecarbonylamino oxobenzazepineacetates as inhibitors of endopeptidase for the treatment of hypertension, sexual dysfunction, apoptosis, and brain damage)
RN 849632-33-3 CAPLUS
CN Butanedicic acid, [[1-[[{35}-1-{2-{1,1-dimethyl-pthoxy}-2-oxoethyl]-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepin-3-yl]amino[carbonyl]cyclopentyl]m ethyl]-, 4-methyl ester, (2R)- [9CI) (CA INDEX NAME)

ANSWER 4 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

129980-23-0 CAPLUS L-Tryptophan, N-[[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI) (CA INDEX NAME)

# .09/30/05

L4 ANSWER 5 OF 28
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
2005:158503 CAPLUS
124:254628
124:254628
11TLE:
Compositions of a chromene or phenylacetic acid cyclooxygenase-2 selective inhibitor and an ACE inhibitor for the treatment of central nervous system damage
INVENTOR(5):
PATENT ASSIGNEE(5):
FAMILY ACC. NUM. COUNT:
PAULY ACC. NUM. COUNT:
11 PATENT ASSIGNEE(5):
FAMILY ACC. NUM. COUNT:
12 CODEN: 17KON2
FAMILY ACC. NUM. COUNT:
13 COPYRIGHT 2005 ACS on STN
2005:158503 CAPLUS
121:2125628
122:24628
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

20040708 BZ, CA, CH,
. BZ. CA. CH.
, FI, GB, GD,
, KR, KZ, LC,
, MZ, NA, NI,
, SK, SL, SY,
, 2A, ZM, 2V
, ZM, ZW, AM,
, C2, DE, DK,
, PT, RO, SE,
, ML, MR, NE,

S.N. TD, TG
US 2005070543 A1 20050331 US 2004-887022 20040708
PRIORITY APPLN. INFO: US 2003-486300P P 20030711
OTHER SOURCE(5): MARPAT 142:254628
AB The invention provides compns. and methods for the treatment of central nervous system damage in a subject. Hore particularly, the invention provides a combination therapy for the treatment of a central nervous system is chemic condition or a central nervous system traumatic injury comprising the administration to a subject of an ACE inhibitor in combination with a chromene or phenylacetic acid cyclooxygenase-2 selective inhibitor.

IT 129980-23-00 129980-23-0D, isomers, esters, salts, or prodrug derivs.

12990-23-0 12990-23-Up, isomers, esters, salts, or prodrug derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (chromene or phenylacetic acid cyclooxygenase-2 selective inhibitor-ACE inhibitor combination for treatment of central nervous system damage) 12990-23-0 CAPLUS L-Tryptophan, N-{[1-(2-cerboxy-4-phenylbuty1) cyclopenty1] carbony1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 28
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TIE:
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFO		NII	5														
PATENT	NO.		KIND		DATE			APP	LIC	ATI	ON	NO.			DATE		
EP 148	1667		λ1		2004			FD	200	4-2	2097	,			2000	110	3
R:	AT, BE,	CH											WT				
	FI. CY.		D15,	UK,	ш,	rn,	σD,	O.	., .	٠,	ш,	LU,	111,	315	,		Δ,
EP 109			A1		2001	0509		RD.	200	0-3	1097	22			2000	110	3
EP 109			В1		2004					٠.					2000		•
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ES 223			T3			0616		ES	200	0-3	3097	22			2000	110	3
ZA 2000	0006374		Ä			0506					374				2000		
ZA 2000	0006375		A		2002	0506		ZA	200	0-6	375				2000		
ZA 2000	0006376		A		2002	0506		ZA	200	0-6	376				2000		
ZA 2000	0006378		Α		2002	0506					378				2000		
US 673	1186		B1		2004	0511	. 1	US	200	0-7	7083	92			2000		
US 2006	1254153		A1		2004	1216	- 1	US	200	3-6	5863	90			2003	101	5
	5020547		A1		2005	0127	1	US	200	3-€	862	82			2003	101	5
US 2005			A1		2005	0331	1	US	200	3-6	863	49			2003	101	5
	5013237		A2		2005						686				2004		
JP 2005			A2		2005	0127		JΡ	200	4-2	676	69			20046	91	5
	5043377		A2		2005	0217					698				2004	91	6
	5070055		A2		2005	0317					697				2004	91	6
PRIORITY API	PLN. INFO.	. :									2643		7		1999		
											1021		7		2000		
											300				2000		
											656				2000		
											714				2000		
											3097				2000		
											751				2000		
											929				2000		
											174				2000		
											210				20000		
											210				20000		
											398				2000		
											3399				2000		
											1399 1399				2000		
											1083				2000		
							,	03	200	v- ,	003	94	,	13	2000	10	8

ANSWER 6 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

AB A method of treating a female suffering from female sexual dysfunction, in particular female sexual arousal disorder, is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia, wherein the agent is in an amount to cause potentiation of cAMP in the sexual genitalia of the female. The agent may be admixed with a pharmacourtically acceptable carrier, diluent or excipient. The agent is an inhibitor of neutral endopeptidase.

Preparation of celected compds., e.g. I, is included.

IT 337862-68-29 337862-71-79 337962-76-29

RI: FAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), FREP (Preparation), USES (Uses) (neutral endopeptidase inhibitors for treatment of female sexual

(neutral endopeptidase inhibitors for treatment of female sexual

dysfunction) 337962-68-2 CAPLUS

337962-69-2 CAPLUS Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-a-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS Benzenebutancic acid,  $\alpha-[[1-[(5-mathyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]mathyl]- (9CI) (CA INDEX NAME)$ 

ANSWER 6 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/30/05

L4 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

337962-76-2 CAPLUS S3/962-76-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]maino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

337962-93-3 CAPLUS Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-\alpha-propyl- (9CI) (CA INDEX NAME)

ΙŤ 337962-89-79

RI: SPN (Synthetic preparation); PRMP (Preparation)
[neutral endopeptidase inhibitors for treatment of female sexual dysfunction)
337962-89-7 CAPLUS

Cyclopentanepropancic acid, 1-[[(1,3-benzodicxol-5-ylmethyl)amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11112:
INVENTOR(s):
PATENT ASSIGNEE(s):
SOURCE:
PATENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE GB 2002-30025 US 2003-448224P WO 2003-IB5981 20021223 20030218 20031212

OTHER SOURCE(S): MARPAT 141:106453

The title compds. I [R1 = C1-C6alky1, C1-C6alkoxyC1-C3alky1, or C1-C6alkoxyC1-C6alkoxyC1-C6alkoxyC1-C6alkoxyC1-C6alkoxyC1-C6alky1 L = an aromatic heterocyclic ring, optionally substituted with C1-C6alky1 or halor R3 = C1-C6alky1 optionally substituted by halo, alkoxy, haloalkoxy, alky1thio, haloalky1thio or nitrile group, or R3 is Ph or aromatic heterocycly1 each of which may be independently substituted by one or more alky1, halo, haloalky1, alkoxy, haloalkoxy, alky1thio, haloalky1, alkoxy, haloalkoxy, alky1thio, haloalky1thio or nitrile group, R4, R5 = either both hydrogen, or one of R4 and R5 is hydrogen and the other is a biolabile ester; p = 0-2; and q = 1 or 2] were prepared as neutral endopeptidase inhibitors for the treatment of cardiovascular disorders or related diseases. For example, reaction of (25)-2-Amino-3-[5-(4-chloropheny1)-oxazo1-2-y1)-propionic acid Et ester hydrochloride (preparation given) and 1-[(25)-2-(tert-butoxycarboxy1)-4-methoxybuty1] cyclopentanecarboxylic acid yielded (25)-2-[1]([15]-1-Ethoxycarboxy1-2-4-methoxy-butyric acid tert Bu ester, which when treated with trifluoroacetic acid furnished compound II. The prepared ds.

ds.
are potent inhibitors of neutral endopeptidase.
719307-43-4P 719307-46-7P 719307-58-8P
RL: PAC (Pharmacological activity), RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use), BIO. (Biological study), PREP (Preparation), RACT (Reactant or reagent); USES (Uses) (preparation of cyclopentyl glutaramide derivs. as neutral endopeptidase inhibitors)
719307-43-4 CAPLUS
2-ONazolepropanotc acid, α-[{[1-{(2S)-2-carboky-4-methoxybutyl]cyclopentyl]carbonyl]amino]-4-methyl-5-phenyl-, monoethyl

ANSWER 7 OF 28 CAPLUS COFYRIGHT 2005 ACS on STN (Continued)
719307-66-1P 719307-67-2P 719307-68-3P
719307-59-4P 719307-70-7P 719307-71-8P
719307-72-9P 719307-73-0P 719307-71-1P
719307-78-5P 719307-78-3P 719307-71-4P
719307-8-5P 719307-78-5P 719307-80-9P
719307-81-0P 719307-82-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclopentyl glutaramide derive. as neutral endopeptidase inhibitors)
719307-44-5 CAPLUS
2-Oxazolepropanoic acid, a-[[1-[(2S)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]amino]-5-(4-fluorophenyl)-, monoethyl ester, (aS)- (SCI) (CA INDEX RAME)

Absolute stereochemistry. Rotation (-).

719307-45-6 CAPLUS 2-0xazolepropanoic acid,  $\alpha=\{\{[1-\{(2S)-2-carboxy-4-methoxybuty]\} cyclopenty]\} carbonyl] amino]-5-phenyl-, monoethyl ester, (<math>\alpha S$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-47-8 CAPLUS 2-0xazolepropancic acid,  $\alpha-[\{[1-\{(2S)-2-carboxy-4-methoxybuty]\} oyclopentyl] carbonyl] amino]-5-{4-chlorophenyl}-, monoethyl ester, (aS)- (9CI) (CA INDEX NAME)$ 

Absolute stereochemistry. Rotation (-).

### 09/30/05

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN ester, (aS)- (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry. Rotation (-).

719307-46-7 CAPLUS 2-Oxazolepropanoic acid, α-[[[1-{(2R)-2-carboxypentyl]cyclopentyl]carbonyl]amino]-5-phenyl-, monoethyl ester, (aS)- (9CI) (CA INDEX NAME)

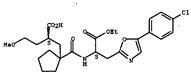
Absolute stereochemistry. Rotation (-).

719307-55-8 CAPLUS 4-Oxazolepropanoic acid,  $\alpha$ -[[[1-{(2R)-2-carboxypentyl]cyclopentyl]carboxylamino]-5-phenyl-, monoethyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

719307-44-59 719307-45-6P 719307-47-6P 719307-48-9P 719307-49-0P 719307-50-3P 719307-51-6P 719307-51-6P 719307-51-6P 719307-51-6P 719307-50-5P 719307-60-5P 71930

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



719307-48-9 CAPLUS
2-0xazolepropanoic acid, a-{{[1-{(2S)-2-carboxy-4-methoxybuty] cyclopentyl]carbonyl]amino]-5-phenyl-, mono(2-methylpropyl)ester, (aS)- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

719307-49-0 CAPLUS 2-0xazolepropanoic acid,  $\alpha-\{\{[1-[(2S)-2-carboxy-4-msthoxybuty]] cyclopentyl] carbonyl] amino]-5-phenyl-, mono(1-methylethyl) ester, (cS)- (CC) (CA INDEX NAME)$ 

719307-50-3 CAPLUS 2-Oxazo1epropanoic acid,  $\alpha-[\{[1-[(2S)-2-carboxy-4-methoxybuty]] cyclopenty1[carbony1] amino]-4-pheny1-, monoethy1 ester, <math>(\alpha S)-(9CI)$  (CA INDEX NAME)

(Continued)

719307-51-4 CAPLUS
2-0xazolepropanoic acid, a-{{[1-[(25)-2-carboxy-4-methoxybuty]-cyclopenty]}carbonyl]amino]-5-phenyl-, monopropyl ester, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-52-5 CAPLUS
2-0xazolepropanoic acid, a-{{{1-{{2S}-2-carboxy-4-methoxybutylcyclopentyl}carbonyl}amino}-5-phenyl-, monobutyl ester, (cS)- {9CI} (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2-Oxazolebutanoic acid,  $\beta$ -[[[1-[(2R]-2-carboxypentyl]cyclopentyl]carbonyl]amino]-5-phenyl-,  $\alpha$ -ethyl ester, ( $\beta$ S)- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

719307-59-2 CAPLUS .
2-Oxazolepropancic acid, \(\alpha - \left(\{1-\{2\}\} -2-carboxy-4-\)
methoxybutyl] cyclopentyl] carbonyl] amino]-5-phenyl-, monocyclopentyl ester,
(\alpha S) - \(\left(9CI)\) (CA INDEX NAME)

Absolute stereochemistry.

719307-60-5 CAPLUS 2-0xazolepropancic acid,  $\alpha-[[[1-[(2S)-2-carboxy-4-methoxybuty]]cyclopentyl]carbonyl]amino]-5-phenyl-, mono(1-ethylpropyl) ester, <math>(\alpha S)-(9CI)$  (CA INDEX NAME)

Absolute stereochemistry.

# 09/30/05

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

719307-53-6 CAPLUS 2-0xazolepropanoic acid,  $\alpha$ -[[[1-[(25)-2-carboxy-4-methoxybuty]]cyclopentyl]carbonyl]amino]-5-phenyl-, mono(phenylmethyl)ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-54-7 CAPLUS
2-0xazolepropanoic acid, a-[[[1-[(2R)-2-carboxypentyl]cyclopentyl]carbonyl]amino]-4-phenyl-, monoethyl ester, (aS)- [9C1] (CA INDEX NAME)

Absolute stereochemistry.

719307-56-9 CAPLUS

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

719307-61-6 CAPLUS 2-0xazolepropanoic acid,  $\alpha$ -{[[[1-{[2S]-2-carboxy-4-methoxybuty]|oyclopenty1|carboxy1|amino]-5-pheny1-, mono(2-butoxyethy1)ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

719307-62-7 CAPLUS lH-Imidazole-1-propanoic acid,  $\alpha$ -[[[1-[(2S)-2-carboxy-4-methoxybuty]]cyclopeatty]]carbonyl]amino]-4-phenyl-, monoethyl ester, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

719307-63-8 CAPLUS
2-Owazolepropanoic acid, a-[{[1-[(2R)-2-carboxypentyl]cyclopentyl]carbonyl]amino]-5-phenyl-, monobutyl ester,
(a5)- (9CI) (CA INDEX NAME)

719307-64-9 CAPLUS 2-Owazolepropanoic acid,  $\alpha-[[[1-[(2R)-2-carboxypenty]]cyclopenty]]carboxypenty]cyclopenty]carboxypiamino]-5-phenyl-, monopropyl ester, <math>(\alpha S)-(9CI)$  (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-65-0 CAPLUS
IH-Imidazole-1-propanoic acid, α-[[[1-[(2S]-2-carboxy-4-mathoxybuty]]cyclopentyl]carbonyl]amino]-4-phenyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

719307-66-1 CAPLUS 2-0xazolepropanoic acid, a-[[{1-{(2s)-2-carboxy-4-

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN INDEX NAME) (Continued)

Absolute stereochemistry. Rotation (-).

719307-70-7 CAPLUS 2-Oxazolepropanoic acid,  $\alpha$ -[[[1-[[2R]-2-carboxypentyl]cyclopentyl]carboxyl]amino]-5-phenyl-, ( $\alpha$ S)- [9CI] (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-71-8 CAPLUS 2-0xazolepropanoic acid,  $\alpha-[[[1-[(2S)-2-carboxy-4-methoxybuty]]cyclopentyl]carbonyl]amino]-5-(4-chlorophenyl)-, (<math>\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

719307-72-9 CAPLUS 2-Oxazolepropanoic acid, a-{{[1-{(25)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]amino]-4-phenyl-, (a5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

### 09/30/05

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) methoxybutyllcyclopentyllcarbonyllamino]-4-methyl-5-phenyl-, (aS)-(SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-67-2 CAPLUS
2-0xazolepropancic acid, a-[[[1-[[25]-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]amino]-5-[4-fluorophenyl]-, [a5]-[9C1] (CA INDEX NAME)

Absolute stereochemistry.

719307-68-3 CAPLUS

2-Oxazolebutanoic acid,  $\beta$ -[[[1-[(2R)-2-carboxypentyl]cyclopentyl]carboxyl]amino]-5-phenyl-, ( $\beta$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-69-4 CAPUS
1,2,4-Oxadiszole-5-propanoic acid, a-[[[1-[(2S)-2-carboxy-4-methoxybutylicyclopentyl]carbonyl]mminoj-3-phenyl-, (aS)- (9CI) (CA

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

719307-73-0 CAPLUS
5-0wazolepropancic acid, a-[[[1-[(2R)-2-carboxypentyl]cyclopentyl]carboxyl]amino]-2-phenyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-74-1 CAPLUS
4-Oxazolepropancic acid, a-[{[1-{(2R)-2-carboxypentyl]cyclopentyl]carboxyl]amino]-5-phenyl-, (aS)- (9CI)
(CA INDEX NAME)

719307-75-2 CAPLUS
2-Owazolepropancic acid, a-[[[1-[(2R)-2-carboxypentyl]cyclopentyl]carbonyl]amino]-4-phenyl-, (aS)- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

RN 719307-76-3 CAPLUS

719307-77-4 CAPLUS'

1H-Pyrazole-1-propanoic acid, a-[[[1-[(2R)-2-carboxypentyl]cyclopentyl]carbonyl]amino]-4-phenyl-, (aS)- [9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

719307-78-5 CAPLUS 2-Oxazolepropancic acid,  $\alpha-[\{[1-\{(2R)-2-carboxypenty]\}cyclopenty]\}carboxylamino]-4-(2-methylpropyl)-, (<math>\alpha$ )-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-79-6 CAPLUS ...300:-:5-0 CAPLUS
2-0xazolepropanoic acid,  $\alpha = \{\{\{1-\{(2R)-2-carboxypentyl\}cyclopentyl\}carboxyl]amino]-4-ethyl-, {\alphaS} - {\gammaCI} \ (CA INDEX NAME)$ 

Absolute stereochemistry. Rotation (-).

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

### 09/30/05

ANSWER 7 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

719307-80-9 CAPLUS
1,3,4-Oxadizcole-2-propanoic acid,  $\alpha$ -[[[1-[(2S)-2-carboxy-4-methoxybutyl]cyclopentyl]carbonyl]amino]-5-phenyl-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-81-0 CAPLUS
2-Owazolepropancic acid, a-{[[1-{(25)-2-carboxy-4-methoxybutyl]cyclopentyl}carbonyl]amino]-5-phenyl-, (aS)- (9CI) (CAINDEX NAME)

Absolute stereochemistry. Rotation (-).

719307-82-1 CAPLUS
1,2,4-Oxadiazole-5-propanoic acid, \(\alpha\-[\{\frac{1}{2}\}-2-\)
carbowypentyl]cyclopentyl]carbonyl]amino]-3-phenyl-, (\alpha\)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:188456 CAPLUS

DOCUMENT NUMBER: 140:366905

AUTHOR(S): 140:366905

AUTHOR(S): SURFCOMP: A Novel Graph-Based Approach to Molecular

SURFCOMP: Surfice Comparison

ANOVARIES INCHITED SIGNED INCHITED INCHITE

SURFCOMP as novel graph-based approach to mol. surface comparison in drug design applied to dihydrofolate reductase and thermolysin inhibitors to determination alignments of compds. to active sites) 129980-23-0 CAPLUS
L-Tryptophan, N-[[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:869567 CAPLUS

INVENTOR(S): Preparation and use of bombesin receptor antagonists for treatment of sexual dysfunction in males and females Gonzelez, Haria Isabel; Higginbottom, Michael; Stock, Herman Thijs; Pritchard, Martyn Cliver Pinnock, Robert Denham, Van der Graaf, Pieter Hadewijn Naylor, Alisdair Mark; Vayman, Christopher Peter

PATENT ASSIGNEE(S): US. Pat. Appl. Publ., 105 pp., Cont.-in-part of U.S. Pat. Appl. 2002 58,606.

CODEN: USXXCCO

DOCUMENT TYPE: Patent
LANGUAGE: Patent
LANGUAGE: Patent
English

FAMILY ACC. NUM. COUNT: 10

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002169101	A1	20021114	US 2001-999284		20011115
US 2002058606	A1	20020516	US 2001-759777		20010112
ZA 2003003249	λ	20040623	ZA 2003-3249		20030425
PRIORITY APPLN. INFO.:			US 1999-133355P 1	Þ	19990510
			WO 2000-GB1787	7	20000510
			US 2000-700165	12	20001109
			US 2001-759777	۸2	20010112
			GB 2001-9910	Α.	20010423
			GB 2001-11037	A.	20010504
OTHER SOURCE(S):	MARPAT	137:370356			-

$$\begin{array}{c} \text{NH} \\ \text{H}_{2}\text{C} \\ \text{CH}_{3} \\ \text{CO} \\ \text{NH} \\ \text{O}_{2}\text{N-p-C}_{6}\text{H}_{4}\text{-NH-CO-NH} \\ \text{CO} \\ \text{NH} \\ \text{OHe} \\ \text{I} \end{array}$$

Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds. for example PDES inhibitors, NEP inhibitors and lasofoxifene. Preparation of bombesin receptor antagonists consisting of s-Me

ANSWER 9 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

### 09/30/05

ANSWER 9 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) tryptophane (e.g., I) or a-methylphenylalanine derivs. was given. In tests on sexually-dysfunctional nale rats, it was concluded that I had a stimulatory effect, at the level of sexual desire, performance, and anorgasmy. In tests on sexually-dysfunctional female rats, it was concluded that I had a stimulatory effect on proceptivity, which was unaffected by repeated administration.

337962-93-3P
RL: PAC (Pharmacological activity), SPN (Synthetic preparation), THU (Therapeutic use), BIOL (Biological study), PREF (Preparation), USES (Uses)
(preparation of as bombesin receptor antagonists for treatment of sexual dysfunction)
337962-93-3 CAPLUS
Cyclopentanepropanoic acid, 1-[[[S-ethyl-1,3,4-thiadiazol-2-yl]amino]carbonyl]-a-propyl- (SCI) (CA INDEX NAME)

ΙT

337962-74-0P 388630-36-2P
RL: PUR (Purification or recovery); PREP (Preparation)
(preparation of as bombesin receptor antagonists for treatment of sexual dysfunction)
30 Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino|carbonyl]-a-propyl-, (aS) - (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

388630-36-2 CAPLUS

Cyclopentanepropanoic acid, 1-[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-α-propyl-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT:

PA:	TENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D	ATE	
										WO	2001-	GB50	18		2	0011	114
WO	2002																
	w:	AΕ,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		co,	CR,	cu,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KP,	ĸR,	ΚZ,	LC,	LK,	LR,
											, MW,						
											, TJ,						UG,
											, KG,						
	RW:										, TZ,						
											, LU,						BF,
		ВJ,	CF,	CG,	CI,	CH,	GA,	GN,	GQ,	GW	, ML,	MR,	NB,	SN,	TD,	TG	
WO											2000-						
	W:	AΕ,	AG,	AL,	AM,	AΤ,	AU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DH,	DZ,	EE,	ES	, FI,	GB,	GD,	GE,	GH,	GM,	HR,
		ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KР	, KR,	К2,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	HΧ	, MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
											, TT,			UG,	US,	UZ,	VN,
											, RU,						
	RW:	GH,	GΜ,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT	, LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CH,	Gλ,	GN,	GW,	ML	, MR,	NE,	SN,	TD,	TG		
CA	2429	106			λλ		2002	0523		CA	2001- 2002-	2429	106		2	0011	114
ΑU	2002	0238	02		A5		2002	0527		ΑU	2002-	2380	2		2	0011	114
EP	1333	824			A2		2003	0813		ΕP	2001-	9945	52		2	0011	114
EP	1333																
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL	, TR						
BR	2001	0153	64		Α.		2003	923		BR	2001-	1536	4		2	2011	114
JP	2004	5227	10		T2		2004	3729		JP	2002-	5423	92		2	2011	114
NZ	5254	15			A		2004	1126		NZ	2001-	5254	15		2	2011	114
US	2004	0875	61		A1		2004	0506		VS	2001- 2002- 2001- 2003-	4169	34		2	3031:	204
ORIT	' APP	LN.	info	.:						ΨŲ	2000-	6843	80	,	w 2	0001	117
											2001-			i	A 2	0010	123
										GB	2001-	1103	7	- 1	A 2	3010	504
							136.			WQ.	2001-	GB50	18	1	2	0011	114

OTHER SOURCE(S): MARPAT 136:395983

AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BBI antagonists or mixed BBI/BBZ antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example phosphodiesterase V inhibitors, neutral endopeptidase

ANSWER 10 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) inhibitors, and lasofoxifene. Prepn. of compds. of the invention is described.
388630-36-29
RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (benchesin receptor antagonists, and combinations with other agents, for treatment of sexual dysfunction)
388630-36-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-e-propyl-, (cR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

337962-74-0P
RL: SPN (Synthetic preparation), PREP (Preparation)
(bombesin receptor antagonists, and combinations with other agents, for treatment of sexual dysfunction)
337962-74-0 CAPLUS
Cyclopentanepropanoic acid, 1-{{(S-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

ANSWER 11 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (treatment of male sexual dysfunction using neutral endopeptidase inhibitors and their combination with phosphodiesterase type 5 inhibitors and other agents in relation to inhibition of angiotensin converting entering converting enzyme)
337962-68-2 CAPUS
Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3pyridinyl]amino]carbonyl]-\(\alpha\)- (2-methoxyethyl) - (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS Benzenebutanoic acid,  $\alpha-[\{1-[\{(5-methyl-1,3,4-thiadiazol-2-yl\}amino]carbonyl]cyclopentyl]methyl}- (9CI) (CA INDEX NAME)$ 

337962-74-0 CAPLUS Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-\u03c4-propyl-, (\u03c4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

388630-36-2 CAPLUS Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-\(\alpha\)-propyl-, (\(\alpha\)\)) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

### 09/30/05

L4 ANSYER 11 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:51273 CAPLUS
136:96099
Treatment of male sexual dysfunction
INVENTOR(5): Naylor, Alasdair Mark, Van der Graaf, Pieter Hadewijn,
Vayman, Christopher Peter
PATENT ASSIGNEE(5): SOURCE: PIXEND
DOCUMENT TYPE: PCT Int. Appl., 124 pp.
COEN: PIXEND

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 10

PA'	ENT N	o.			KINI	2	DATE		1	APPI	LICAT	ION	NO.		E	ATE	
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WO	20020	0399	95		A3		2002	0418					• •				
							AU,			BB.	BG.	BR.	BY.	BZ.	CA.	CH.	CN.
							DK.										
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							MD,										
							SI.										
							AM.										
	RW:																CY.
		DE,	DK,	ES,	FI.	FR.	GB,	GR.	IE.	IT.	LU.	MC.	NL.	PT.	SE.	TR.	BF
							GA,										
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CA	24141	12			AA		2002	0117		-A 2	2001-	2414	112		2	0010	702
AU	20010 12966	6935	53		A5		2002	0121	1	AU 2	2001-	6935	3		2	0010	702
EP	12966	B 7			A2		2003	0402	1	EP 2	2001-	9477	09		2	0010	702
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
JP	20045 52293 20030 20030 20030	0273	35		T2		2004	0129		JP 2	2002-	5084	49		2	0010	702
NZ	52293	1			A		2005	0324	1	NZ 2	2001-	5229	31		2	0010	702
ZA	20030	0012	21		A		2004	0121	- 1	ZA 2	2003~	121			2	0030	106
ZA	20030	0012	20		A		2004	0126	- 2	ZA 2	-600	120			2	0030	106
ZA	20030	0446	60		A		2004	0624	7	ZA 2	2003-	4460			2	0030	609
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									•	3B 2	2000-	3064	7		١ 2	0001	215
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									ţ	JS 2	2001-	2749	57P	1	? 2	0010	312
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OTHER SO	OURCE (	5):			MARI	'ΑΤ	136:	96099	9								

R SOURCE(S): MARPAT 136:96099
The present invention relates to the use of neutral endopeptidase inhibitors (NEP1) and a combination of NEP1 and phosphodiesterase type (PDES) inhibitor for the treatment of male sexual dysfunction, in

particular MED. 337962-68-29 337962-71-79 337962-74-0P 388630-36-29

June June 1. PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

ANSWER 11 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

337962-93-3P
RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)
(treatment of male sexual dysfunction using neutral endopeptidase inhibitors and their combination with phosphodiesterase type 5 inhibitors and other agents in relation to inhibition of angiotensin converting enzymes
337962-93-3 CAPLUS
CVclopentanerropanoic acid. 1-[[(5-ethyl)-1.3.4-thiadiazol-2-

Cyclopentanepropancic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-\alpha-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:31403 CAPLUS

DOCUMENT NUMBER: 136:102126

Cyclopentyl-substituted glutaranide derivatives as inhibitors of neutral endopertidase, and their preparation and use in the treatment of female sexual arousal disorder

Barber, Christopher Gordon; Cook, Andrew Simon; Maw, Graham Nigel; Pryde, David Cameron; Stobie, Alan

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

DOCUMENT TYPE: Patent

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT:

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		co,	CR,	CU.	cz,	DE,	DK.	DM.	DZ,	EC	EE.	ES.	FI.	GB.	GD,	GE.	GH.
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KP,	KR,	KZ,	LC,	LK,	LR.
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	NZ,	PL,	PT.
		RO,	RU,	5D,	SE,	SG,	SI,	SK,	SL,	ΤJ	, TH,	TR,	TT,	TZ,	Uλ,	UG.	US,
		υz,	VN,	YU,	ZA,	Z₩,	AM,	ΑZ,	BY,	KG	, KZ,	MD,	RU,	TJ,	TM		
	RW:										, .TZ,						
											, LU,						
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	G₩,	ML	, MR,	NE,	SN,	TD,	TG		
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CA	2414	881			AA		2002	0110		CA.	2001-	2414	881		- 4	0010	102
AU	2001	1677	70		A5		2002	0114		AU :	2001- 2001-	6777	0		2	0010	702
EP	1296	938			A1		2003	0402		EP :	2001-	9455	57		2	0010	702
	R:										, IT,		LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LI,	LV,	FΙ,	RO,	MK,	CY,	AL,	, TR						
BR	2001	123	70		A		2003	0617		BR :	2001 -	1237	0		2	0010	702
JP	2004	5026	70		T2		2004	0129		JP :	2001 - 2002 - 2002 - 2002 - 2002 - 2003 - 2003 -	5077	70		2	0010	702
NZ	5223	58	•		A		2004	1224		NZ :	2001-	5223	68		2	0010	702
BG	1072	29			A		2003	0530	•	BG :	2002-	1072	29		2	0021	029
NO	2002	0062	5Z		λ		2002	1227		NO .	2002-	6262			2	0021	227
ZA	2003	2001	21		A		2004	0121		ZA .	2003-	121			2	0030	106
ZA	2003	3001	20		A		2004	0126		ZA :	2003-	120			. 2	0030	106
RIORITY	APP	LN.	INFO	. :						GB :	2000~	1668	4		. 2	0000	706
										US .	2000- 2001-	2191	UUP		. 2	0000	/18
										US	2001-	2/49	5 / P	1	2	0010	312
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ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRP (Properties), PUR (Purification or recovery), SFN (Synthetic
preparation), THU (Therapeutic use), BIOL (Biological study), PREP
(Preparation), USES (Uses)
(drug candidate, prepn. of cyclopentyl-substituted glutaramide derivs.
as neutral endopeptidase inhibitors, for treatment of female sexual
arousal disorder)
38850-36-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2yl)amino]carbonyl}-a-propyl-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

337962-93-3P, 2-[[1-[[(5-Ethyl-1,3,4-thiadiazol-2-yl]amino]carbonyl]cyclopentyl]methyl]pentanoic acid
RL: PAC (Pharmacological activity); PEF (Physical, engineering or chemical process); PYF (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(drug candidate; preparation of cyclopentyl-substituted glutaramide

as neutral endopeptidase inhibitors, for treatment of female sexual arousal disorder)
3782-93-3 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

388630-59-99, (-)-(2R)-2-[[1-[[(5-Ethyl-1,3,4-thiadiazol-2-yl]amino]carbonyl]cyclopentyl]methyl]pentanoic acid sodium salt RL: PAC (Pharmacological activity) FRP (Properties), SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (drug candidate: preparation of cyclopentyl-substituted glutaramide

vs.

as neutral endopaptidase inhibitors, for treatment of female sexual
arousel disorder)
38630-59-9 CAPLUS
Cyclopantanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2yl]sminolcarbonyl]-a-propyl-, monosodium selt, (sR)- (9CI)
(CA INDEX MAMS)

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L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

$$\underset{HO_{2}C}{\overset{R^{1}}{\longleftarrow}}\underset{0}{\overset{H}{\longleftarrow}}\underset{n}{\overset{Y}{\longleftarrow}}$$

The invention provides compds. I [wherein: Rl = (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl, alkowy, amino derivative, or sulfonylamino derivative; n = 0, l, or 2: Y = (un)substituted cycloalkyl, carbamoyl, 2-indamyl, sza- or diazainden-2-yl, 5- to 7-membered heterocyclyl, sulfonylamino with provisos] and their pharmaceutically acceptable salts, solvates, polymorphs, or prodrugs. I are inhibitors of neutral endopeptidase (NEP), and as such are useful for treating a variety of conditions. In particular, the compds. are useful for treating a variety of conditions. In particular, the compds. are useful for treating of conditions. In particular, the compds. are useful for treating a variety of conditions. In particular, the compds. are suseful for treating to sexual dysfunction, and especially female sexual arousal carborate (FSAD). Almost 60 synthetic examples and over 100 precursor prepns. are given. For instance, 1-[2: (tert-butoxycarbonyl)-4-pentenyl]-yclopentanecarboxylic acid was hydrogenated at the double bond (91%), amidated with piperonylamine using EDCl and RDST, and deprotected with TFA, to give title compound II. The example compds. inhibited NEP in vitro with ICSO < 5000 aM, with many compds. showing at least 300-fold selectivity for NEP over angiotensin converting enzyme (ACE). An animal model of human female sexual arousal was developed, using laser doppler technol. to record small changes in vaginal and clitoral blood flow induced by pelvic nerve stimulation or vasoactive neurotransmitters in anesthetized rabbits. In this model, invention compound III significantly enhanced pelvic nerve-stimulated increases in genital blood flow at clin. relevant doses, using both i.v. and topical (vaginal) application.

38630-36-2P, (-)-(2R)-2-([1-(5-Etyl-1,3,4-thiadiszol-2-yl) amino] carbonyll cyclopentyl] methyl]pentancic acid RL: BSU (Biological study, unclassified), PAC (Pharmacological activity);

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Absolute stereochemistry. Rotation (-).

• Na

337962-74-0P, (+)-(2S)-2-[[1-[[(5-Ethyl-1,3,4-thiadiszol-2-yl)amino]carbonyl]cyclopentyl]methyl]pentanoic acid
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
(Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study);
PREF (Preparation); USES (Usea)
(drug candidate; preparation of cyclopentyl-substituted glutaramide

as neutral endopeptidase inhibitors, for treatment of female sexual

arousal disorder) 337962-74-0 CAPLUS

Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

337962-68-29, 2-[[1-[[(1-Benzyl-6-oxo-1,6-dihydro-3-pyridinyl) amino|carbonyl]cyclopentyl]methyl]-4-methoxybutanoic acid
337962-71-79, 2-[[1-[[(5-Methyl-1,3,4-thiadizzol-2-yl) amino|carbonyl]cyclopentyl]methyl]-4-phenylbutanoic acid
337962-76-29, 2-[[1-[[(1-Benzyl-6-oxo-1,6-dihydro-3-pyridinyl) amino|carbonyl]cyclopentyl]methyl]pentanoic acid
337962-89-79, 2-[[1-[[(1-Benzyl-6-oxo-1,6-dihydro-3-pyridinyl) amino|carbonyl]cyclopentyl]methyl]pentanoic acid
336630-13-59, 2-[[1-[[(1-Methyl-1,3,4-thiadizzol-2-yl) amino|carbonyl]cyclopentyl]methyl]pentanoic acid
336630-13-59, 2-[[1-[[(5-Methyl-1,3,4-thiadizzol-2-yl)mathyl]pentanoic acid 336630-13-genzyl]methyl]pentanoic acid 336630-13-genzyl]methyl]pentanoic acid 336630-13-genzyl]pentanoic acid 336630-13-genzyl]pentanoic acid 336630-13-yl)ethyl]methyl]pentanoic acid 336630-13-yl)ethyl]methyl]pentanoic acid 336630-13-genzylpyrolidin-3-yl)methyl[cyclopentyl]methyl]pentanoic acid 336630-13-genzylpyrolidin-3-yl)methyl[cyclopentyl]methyl]pentanoic acid 336630-23-79, 2-[[1-[[[(2-Con-1-piperidinyl]ethyl]methyl]pentanoic acid 336630-23-79, 2-[[1-[[[2-C-Con-1-piperidinyl]ethyl]mino]carbonyl]cyclopentyl]methyl]pentanoic acid 336630-26-09,

Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-a-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS Senzenebutanoic actid,  $\alpha$ -[[1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

388630-16-8 CAPLUS
Cyclopentanepropanoic acid, 1-[[[1-methyl-2-(2-oxo-1-pyrrolidinyl)ethyl]amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

388630-19-1 CAPLUS Cyclopentanepropanoic acid, 1-[([2-(1H-indol-3-y1)ethyl]amino]carbonyl]-a-propyl- (9C1) (CA INDEX NAME)

388630-20-4 CAPLUS
Cyclopentanepropanoic acid, 1-{{[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]amino}carbonyl)-a-propyl- (9CI) (CA INDEX NAME)

388630-23-7 CAPLUS Cyclopentanepropanoic acid, 1-[[[2-(2-oxo-1-piperidinyl)ethyl]amino]carbon yl]-a-propyl- (9Cl) (CA INDEX NAME)

09/30/05

L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

337962-76-2 CAPLUS Cyclopentanepropanoic acid, 1-[[[1,6-dibydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino|carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

337962-89-7 CAPLUS
Cyclopentanepropanoic acid, 1-[[(1,3-benzodicxol-5-ylmethyl)amino]carbonyl)-a-propyl- (9CI) (CA INDEX NAME)

388630-13-5 CAPLUS Cyclopentanepropanoic acid, 1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-«-propyl- (9CI) (CA INDEX NAME)

388630-14-6 CAPLUS
Cyclopentanepropanoic acid, 1-{[[{5-methyl-1,3,4-thiadiazol-2-yl)methyl]amino]carbonyl}-a-propyl- (9CI) (CA INDEX NAME)

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

388630-26-0 CAPLUS Cyclopentanepropanoic acid, 1-[[[5-(cyclopropylmethyl)-1,3,4-thiadiazol-2-yl]amino]carbonyl]-\alpha-propyl-, (\alpha N)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

388630-27-1 CAPLUS Cyclopentanepropanoic acid, 1-[[[5-(ethoxymethyl)-1,3,4-thiadiazol-2-yl]amino]carbonyl]-a-propyl-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

388630-28-2 CAPLUS Cyclopentanepropanoic acid, a-propyl-1-[(3-pyridinylamino)carbonyl]-(9CI) (CA INDEX NAME)

388630-29-3 CAPLUS Cyclopentanepropanoic acid, 1-[[(4-butyl-2-pyridinyl)amino]carbonyl]- ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN  $\alpha$ -propyl- (9CI) (CA INDEX NAME) (Continued)

388630-32-8 CAPLUS
Cyclopentanepropanoic acid, 1-[[[5-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

388630-38-4 CAPLUS
Cyclopentanepropanoic acid, 1-[[[1,6-dibydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-a-propyl-, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

$$\bigcap_{n-p} \prod_{CO_2H} \prod_{n-p} \prod_{h=0}^{H} \bigcap_{O} p_h$$

388630-39-5 CAPLUS Cyclopentanepropanoic acid, 1-[[(4-butyl-2-pyridinyl)amino]carbonyl}a-propyl-, (aR)- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN-pyridinyl) amino]carbonyl]- (9CI) (CA INDEX NAME) (Continued)

388630-58-8 CAPLUS Cyclopentanepropanoic acid,  $1-[[\{2,3-dihydro-2-benzofuranyl\}methyl\}amino]carbonyl]-<math>\alpha-(2-methoxyethyl)-$  (9CI) (CA INDEX NAME)

- СН<sub>2</sub>-- СН<sub>2</sub>-- ОМе

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/30/05

L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

388630-43-1 CAPLUS
Benzenebutanoic acid, a-[[1-([3-pyridinylamino]carbonyl]cyclopentyl]
methyl]- (9CI) (CA INDEX NAME)

388630-48-6 CAPLUS Cyclopentamepropanoic acid, a-(2-methoxyethyl)-1-[[[5-(phenylmethyl)-1,3,4-thiadiszol-2-yl]smino]carbonyl]- [9CI) (CA INDEX NAME)

388630-49-7 CAPLUS Cyclopentanepropanoic acid, 1-[{(4-buty1-2-pyridinyl)amino]carbonyl]-a-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

388630-50-0 CAPLUS Cyclopentanepropanoic acid, a-(2-methoxyethyl)-1-[[(4-phenyl-2-

L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
111LE:
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111LE:
1NEY NEW (He ultral endopeptidase) inhibitors for the treatment of female sexual dysfunction
May (Fenham Nigel) Wayman, Christopher Peter
PATENT ASSIGNEE(S):
SOURCE:
EVERT Limited, UK, Pfizer Inc.
EVERT PATE LAPPI, 124 pp.
CODEN: EFEXCHW
DOCUMENT TYPE:
PATENT LIMFORMATION:
English
FAMILIA ACC. NUM. COUNT:

FAMILIA ACC. NUM. COUNT:

ENGlish

English

FAMILIA ACC. NUM. COUNT:

ENGLISH

ENG

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 1097719	A1 20010509		20001103
EP 1097719	B1 20041222		
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,
IE, SI, LT,	LV. FI. RO		
EP 1481667	A1 20041201	EP 2004-20972	20001103
R: AT, BE, CH,		GB, GR, IT, LI, LU, NL,	
FI, CY, TR	02, 011, 20, 111,	02, 01, 11, 21, 20, 10,	00, 11, 10,
AT 285249	E 20050115	AT 2000-309722	20001103
PT 1097719	T 20050429		20001103
WS 2233297	T3 20050616		20001103
ES 2233297 ZA 2000006374	A 20020506		20001105
	A 20020506		20001106
ZA 2000006376	A 20020506	73 2000-6376	20001106
ZA 2000006375 ZA 2000006376 ZA 2000006378	A 20020506	73 2000-0370	20001106
AU 781186			20001106
AU 781400	B2 20050512	AU 2000-71411	20001106
AU 781403	B2 20050519		20001106
CA 2323183	AA 20010508		20001106
CA 2323191	AA 20010508	CA 2000-2323193	20001107
CA 2323464	AA 20010508		
CA 2324484	AA 20010508		20001107
NO 2000005618	A 20010509		20001107
NO 2000005661		NO 2000-5618	20001107
NO 2000005662			20001107
CN 1320426	A 20010509		20001107
CN 1320426 CN 1322526	A 20011107		20001107
CN 1322526 CN 1328824	A 20011121		20001107
	A 20020102		20001107
NZ 508006 NZ 508007	A 20020628		20001107
	A 20020628		20001107
NZ 508011	A 20020628		20001107
NZ 508012	A 20020628		20001107
BR 2000005266	A 20030408		20001107
JP 2001206855	A2 20010731		20001108
JP 2001213802	A2 20010807		20001108
JP 2001247478	A2 20010911		20001108
JP 2001247479	A2 20010911		20001108
BR 2000005276	A 20030408		20001108
BR 2000005299	A 20030415		20001108
US 6734186	B1 20040511		20001108
US 2004254153	A1 20041216		20031015
US 2005020547	A1 20050127		20031015
US 2005070499	A1 20050331	US 2003-686349	
JP 2005013237	A2 20050120	JP 2004-268608	20040915
JP 2005021167	A2 20050120 A2 20050127	JP 2004-267669	20040915

L4	ANSWER 13 OF 28	CAPLUS	COPYRIGHT 2	005 ACS on STN	(Conti	nued)
	JP 2005043377	A2	20050217	JP 2004-269807		20040916
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PRIO	RITY APPLN. INFO.			GB 1999-26437	λ	19991108
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				GB 2000-13001	Ä	20000526
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				GB 2000-17141	λ	20000712
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				US 2000-192962P	P	20000329
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				US 2000-221014P	P	20000727
				US 2000-221093P	P	20000727
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JP 2000-339949 A3 20001108

JP 2000-339949 A3 20001108

JP 2000-339957 A3 20001108

JP 2000-339957 A3 20001108

JP 2000-339957 A3 20001108

JP 2000-339957 A3 20001108

A method of treating a female suffering from female sexual dysfunction, in particular female sexual arousal dysfunction, is described. The method comprises delivering to the female an agent that is capable of potentiating cMPF in the sexual genitalia, wherein the agent is in a mount to cause potentiation of CMPF in the sexual genitalia of the female. The agent may be admixed with a pharmaceutically acceptable carrier, diluent or excipient. The agent is an inhibitor of NEF (neutral endopeptidase; EC 3.4.24.11).

3.4524-69-27 337962-71-7P 337962-74-0P
337962-68-2P 337962-71-7P 337962-74-0P
337962-68-2P 337962-71-7P SSP (Synthetic preparation); TEU (Therapeutic use); BIOL (Biological activity); PREF (Preparation); USES (Uses)
(neutral endopeptidase inhibitors for treatment of female sexual dysfunction)
337962-69-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(nhamma)]]

Surjucture 2 Cartus (Cyclopentanepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino]carbonyl]-α-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS Benzenebutanoic acid,  $\alpha-[[1-([(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)$ 

L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/30/05

L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2005 ACS ON STN

337962-74-0 CAPLUS
Cyclopentanepropanoic acid, 1-[[{5-ethyl-1,3,4-thiadiazol-2-yl}amino]carbonyl]-a-propyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

337962-76-2 CAPLUS
Cyclopentamepropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]amino|carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

337962-89-79

337962-69-79
RL: SPN (Synthetic preparation), PREP (Preparation)
(neutral endopeptidase inhibitors for treatment of female sexual
dysfunction)
337962-89-7 CAPLUS
Cyclopentanepropanoic acid, 1-[(1,3-benzodioxol-5ylmethyl)anino]carbonyl}-α-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:338074 CAPLUS

134:336237 Neuropeptide Y (NFY) antagonists for the treatment of female sexual dysfunction

Maw, Graham Nigel, Wayman, Christopher Peter Pfizer Limited, UK, Pfizer Inc.

SOURCE: Sur. Pat. Appl., 165 pp.

CODEN: EFEXTOW Patent

LANGUAGE: EFEXTOW Patent

English 5

FAMILY ACC. NUM. COUNT: 5

PATENT NO.  EP 1097718  R: AT, BE, CH  IE, SI, LT  1097719  ES 2233297  ZA 2000006374  ZA 2000006375  ZA 2000006376  ZA 2000006376  ZA 2000006376  ZA 781400  AU 781400  CA 2233183  CA 2232191	KIND	DATE	APPLICATION NO.	DATE
KP 1097718	A1	20010509	EP 2000-309720	20001103
R: AT. BE. CH	. DR. D	K. ES. FR.	GB, GR, IT, LI, LU, NL,	
IE, SI, LT	. LV. F	I. RO	00, 010, 11, 21, 20, 112,	55, 110, 11,
AT 285249	E	20050115	AT 2000-309722	20001103
PT 1097719	T	20050429	PT 2000-309722	20001103
ES 2233297	Т3	20050616	RS 2000-309722	20001103
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AU 781400	B2	20050519	AU 2000-71407	20001106
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CN 1322526	λ	20011121	CNI 2000_137671	20001107
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BR 2000005299	Α.	20030415	BR 2000-5299	20001108
US 6734186 US 2004254153	B1 A1	20040511	US 2000-708392	20001108
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JP 2005013237 JP 2005021167	A2	20050120	JP 2004-268608	20040915
JP 2005021167 JP 2005043377	A2 A2	20050127	JP 2004-267669	20040915 20040916 20040916
	AZ A2	20050217	JP 2004-269807	20040916
ORITY APPLN. INFO.:	A2	20050317	JP 2004-269732	20040916
VALLE AFFLET. INFO.:			GB 1999-26437 GB 2000-4021	A 19991108
			GB 2000-4021	A 2000D218

S3/96-08-2 CAPLUS
Cyclopentanepropanoic acid, 1-[[{1,6-dihydro-6-oxo-1-(phenylmathyl)-3pyridinyl]mmino]carbonyl]-g-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

337962-71-7 CAPLUS
Benzenebutanoic acid,  $\alpha$ -[[1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]cárbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 14 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

337962-74-0 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

337962-76-2 CAPLUS Cyclopentanepropanoic acid, 1-[[[1,6-dibydro-6-oxo-1-(phenylmethyl)-3-pyridinyl]anino|carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

IT

337962-69-79
REL: SPN (Synthetic preparation), PREP (Preparation)
(neuropeptide Y antagonists for the treatment of female sexual dysfunction)
337962-69-7 CAPLUS
Cyclopentanepropanoic acid, 1-[{{1,3-benzodioxol-5-ylmethyl}amino]carbonyl]-α-propyl- (9CI) (CA INDEX NAME)

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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RP.	1097	707					20010		,	EP	2000	309	719			2000	
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		IE.	SI.	LT.	LV.	FI.	RO		,		.,		,	,		,	,
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337962-71-7 CAPLUS
Benzenebutanoic acid,  $\alpha$ -[[1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl]- (9CI) (CA INDEX NAME)

RN 337962-74-0 CAPLUS

ANSWER 15 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

### 09/30/05

ANSWER 15 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Cyclopentanepropanoic acid, 1-[[[5-ethyl-1,3,4-thiadiazol-2-yl]amino]carbonyl]-a-propyl-, (aS)- (9CI) (CA INDEX NAME)

337962-76-2 CAPLUS
Cyclopentanepropanoic acid, 1-{{{1,6-dihydro-6-oxo-1-(phenylmethyl}-3-pyridinyl}amino]carbonyl}-a-propyl- (9CI) (CA INDEX NAME)

337962-89-7 CAPLUS

Cyclopentanepropanoic acid, 1-[((1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-α-propyl- (9CI) (CA INDEX NAME)

337962-93-3 CAPLUS

33/92+33-3 CAPLOS Cyclopentanepropanoic acid,  $1-\{[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-<math>\alpha$ -propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 28
ACCESSION NUMBER:
DOCUMENT NUMBER:
111LE:
1NVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:

ACPLUS COPYRIGHT 2005 ACS on STN
2001;338067 CAPLUS
194:348226
Phosphodiesterase inhibitors for the treatment of female sexual arousal dysfunction
Haw, Graham Nigely Wayman, Christopher Peter
Fizer Limited, UK: Pfizer Inc.
CODEN: EPXXDW
DOCUMENT TYPE:

CODEN: EPXXDW
Patent

LANGUA		ra:		English
FAMILY	ACC.	NUM.	COUNT:	5
PATENT	INFO	RMATI	ON:	

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			SI,	LT,		FI,										
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	2233				тз		20050616		ES	2000-	3097	22			20001	1103
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ANSWER 16 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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US 2000-1715161P P 200000712

US 2000-192962P P 200000329

US 2000-212104P P 20000727

US 2000-221014P P 20000727

US 2000-2319813 A3 20001108

JP 2000-339915 A3 20001108

JP 2000-339916 A 320001108

JP 2000-33995 A3 20001108

JP 2000-33995 A3 20001108

JP 2000-33995 A3 20001108

JP 2000-708392 A3 20001108

GFSD), in particular female sexual arousal dysfunction (FSAD), is described. The method comprises delivering to the female an agent that is capable of potentiating cAMP in the sexual genitalia wherein the agent is in an amount to cause potentiation of CAMP in the sexual genitalia of the female. The agent may be admixed with a pharanacutically acceptable carrier, diluent or excipient. Said agent is a phosphodiesterase (PDE) inhibitor wherein said PDE is a CAMP hydrolyzing PDE (and optionally 'CCMP hydroyzing).

337962-68-2P 337962-93-7P 337962-74-0P
337962-76-2P 337962-93-7P 337962-74-0P
337962-76-2P 337962-93-7P 337962-74-0P
337962-68-2 CAPLUS

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337962-71-7 CAPLUS
Benzenebutanoic acid,  $\alpha$ -[[1-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]cyclopentyl]methyl)- (9CI) (CA INDEX NAME)

ANSWER 16 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

337962-74-0 CAPLUS
Cyclopentanepropanoic acid, 1-[[(5-ethyl-1,3,4-thiadiazol-2-yl)amino]carbomyl]-a-propyl-, (as)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

337962-76-2 CAPLUS
Cyclopentaeneropanoic acid, 1-[[[1,6-dihydro-6-oxo-1-(phenylmethyl)-3-pyridinyl)amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

337962-89-7 CAPLUS

Cyclopentanepropanoic acid, 1-[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-a-propyl- (9CI) (CA INDEX NAME)

337962-93-3 CAPLUS

L4 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:50486 CAPLUS

134:105881

TITLE: 2001:50486 CAPLUS

134:105881

Pharmaceuticals with protective effects against oxidative-toxic substances, particularly against cardiotoxic substances, particularly against cardiotoxic substances

ROSSA, ZSUZSBANRA, Papp, Julius G., Thormahlen, Dirk, Waldeck, Harald

PATENT ASSIGNEE(5): Solvay Pharmaceuticals G.m.b.H., Germany

PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

German DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

							DATE							NO.			ATE	
WO														25				
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		RU,	SK,	TR,	UA,	US,	ZA											
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			SE															
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EP	1200	95	-		A1		2002	0502		RP	200	10-	9479	60`			0000	710
	R:	AT.	BE.	CH.										LU,				
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PR

ASUMAKES: MARMAT 134:105881
The invention relates to the utilization of benzazepine-N-acetic acid derivs. Which contain an oxo group in addition to the nitrogen atom in the e-position and which are substituted in the third position by a 1-(carboxyalkyl)cyclopentylcarbonylamino group and to their salts and biolabile esters for the prophylamis and/or treatment of heart damages caused by cardictoxic doses of drugs or chems. In large mammals and particularly humans. beings. The invention particularly relates to the prophylamis and/or treatment of heart damages, especially myocardial less.

prophylasis and/or treatment or mest demmayer, expending, ages, which may occur during cytostatic chemotherapy. The invention further relates to the utilization of these benzazepine-N-acetic acid derivs. for adjuvant treatment in therapy in which drugs, which have undesirable oxidative-toxic side effects, are used. The invention addil. relates to the production of drugs suitable for the prophylasis and/or treatment or adjuvant treatment. Thus, tablets were prepared from (35,2'R)-3-(1-[2'-(schoxycarbonyl)-4'-phenylbutyl]cyclopentane-1-carbonylanino)-2,3,4,5-tetrahydro-2-oxo-IN-1-benzazepine-1-acetic acid 20, corn starch 60, lactose 135, and gelatin (10% solution) 6 mg/tablet.

182560-86-7 182360-97-0 182821-29-0
RL: THU (Therapeutic use), BIOL (Biological study); USES (Uses)

ANSWER 17 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(pharmaceuticals with protective effects against cardiotoxic
substances)
182560-86-7 CAPLUS
1H1-18enzazapine-1-acetic acid, 3-{{[1-{2-carboxy-4-phenylbuyl)cyclopentyl]carbonyl}amino]-2,3,4,5-tetrahydro-2-oxo-(9CI)
(CA INDEX NAME)

182560-97-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-{{{1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, α-(phenylmethyl) ester (9CI) (CA INDEX NAME)

182821-29-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[(2R)-2-carboxy-4-phenylbuty1]cyclopenty1]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(3C1) (CA INDEX NAME)

Absolute stereochemistry.

2

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 18 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) naphthylalkyl; R2; R3 = H, biolabile ester-forming group] are useful for treatment of high blood pressure regardless of etiol., esp. certain forms of secondary hypertension associ. with noncardiac disorders. Thus, rats with hypoxia-induced pulmonary hypertension, treated with (38,2'R)-3-[1-(2-carboxy-4-phenylbutyl) (yclopentane-1-carbonylamino]-2,3,4,5-tetrahydro-2-oxo-(1H)-1-benzazepine-1-acetic acid (II) (40 mg/kg i.p./day by osmotic minipump), showed a redn. in pulmonary arterial pressure with no effect on the systemic blood pressure. A sterile injection soln. contained II 10, Na2HPO4.7H2O 43.24, NaHZPO4.2H2O 7.72, NaCl 30.0, and H2O 4948.0 mg.
  182821-29-0 ΙT
  - 182821-29-0

    RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

    (medicament for treatment of high blood pressure)

    182821-29-0 CAPIUS

    IH-1-Benzazepine-1-acetic acid, 3-[[[1-{(2R)-2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl3amino}-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

### 09/30/05

L4 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
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1717LB: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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H2CHR1CO2R2 CH2CO2R3

Benzazepine-N-acetic acid derivs. I (R1 = (substituted) phenylalkyl,

L4 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2005 ACS on STM
ACCESSION NUMBER:
DOCUMENT NUMBER:
134:159784
A novel method of aligning molecules by local surface shape similarity
CORPORATE SOURCE:
AUTHOR (S):
CORPORATE SOURCE:
Journal of Computer-Aided Moleculer Design (2000), 14(6), 573-591
CODEN: JCADEQ: ISSN: 0920-654X
Kluwer Academic Publishers
DOCUMENT TYPE:
JOURNAL ANGUAGE:
English
AB A novel shape-based method has been developed for overlaying a series of mol. surfaces into a common reference frame. The surfaces are represented by a

mol. surfaces into a common reference frame. The surfaces are represented set of circular patches of approx. constant curvature. Two mols, are overlaid using a clique-detection algorithm to find a set of patches in the two surfaces that correspond, and overlaying the mols. so that the similar patches on the two surfaces are coincident. The method is thus able to detect areas of local, rather than global, similarity. A consensus overlay for a group of mols. is performed by examining the scores of all pairwise overlays and performing a set of overlays with the highest scores. The utility of the method has been examined by comparing the overlaid and exptl. configurations of 4 sets of mols. for which there are x-ray crystal structures of the mols, bound to a protein active site. Results for the overlays are generally encouraging. Of particular note is the correct prediction of the "reverse orientation" for ligands binding to humber of the correct protein IRV14.

129980-23-0 (Rovel method of aligning mols. by local forcess)

(Rovel method of aligning mols. by local surface shape similarity)

129980-23-0 CAPUS

L-Tryptophan, N-[[1-(2-carboxy-4-phesylbutyl)cyclopentylcarbonyl]- (9CI)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
1998:196303 CAPLUS
171TLE:
1212:239479
Espazaepineacetic acid derivatives promoting
gastrointestinal blood circulation
ROTSA, SUBBARRY Papp, Julius GY, Thormaehlen, Dirk;
Waldeck, Harald
SOURCE:
SOURCE:
COURSE GYNXEX
DOCUMENT TYPE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE DE 19638020 A1 19980319 DE 1996-19638020 19960918 EP 830863 A1 19980325 EP 1997-115603 19970909 EP 830863 B1 20000510 R: AT, BE, CH, DE, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, ES 2145545 T3 20000701 ES 1997-115603 19970909 US 5783573 A 19980721 US 1997-929114 19970915 JF 10101565 A2 19980421 JF 1997-929114 19970915 JF 10101565 A2 19980421 JF 1997-9251128 19970917 ES 1997-115603 US 1997-929114 JP 1997-251928 DE 1996-19638020 PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI A 19960918 MARPAT 128:239479

Benzazepineacetic acid derivs. I [Rl = (substituted) phenylalkyl, naphthylalkyl; R2, R3 = H, group forming a biol. labile ester] and their salts are useful in pharmaceutical compns. for treatment and/or prophylaxis of disorders in the gastrointestinal (mesenteric) circulation of various etiol. in humans and large mammals. Thus, in rats with streptozotocin-induced diabetes, the mesenteric arterial blood pressure was 9 ml/min this was increased to 14 ml/min by treatment with I (substituents not specified) at 30 mg/kg/day orally for 8 wk. Tablets were prepared containing (35, ZR)-I (Rl = PhCH2CH2, R2 = Et, R3 = H) (II) 20, corn starch 60, lactose 135, and gelatin 6 mg. II was prepared from di-Et malonate and phenethyl bromide via 2-carboxy-4-phenylbutyric acid and Et e-(2-phenethyl)acrylate, reaction with cyclopentanearboxylic acid, resolution with L(-)-a-methylbenzylamine, condensation with tert-Bu 3-amino-2, 3, 4,5-tetrahydro-2-xoc-IH-1-benzazepine-1-acetate, etc. 182560-86-79 182850-97-09 182821-33-69 204781-63-79 204781-65-79 20

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L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

204781-61-3 CAPLUS IH-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-phenylbutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

204781-62-4 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(1-naphthalenyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(9CI) (CA INDEX NAME)

204781-63-5 CAPLUS
1H-1-Benzazapine-1-acetic acid, 3-[[[1-(2-carboxy-3-phenylpropy)]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(9CI)(CA INDEX NAME)

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### 09/30/05

ANSWER 20 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
204781-70-49
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzezepinaecetic acid deriva, promoting gastrointestinal blood
circulation)
125560-86-7 CAPLUS
1H-1-Benzszepine-1-acetic acid, 3-{{{1-{2-carboxy-4phenylbutyl)cyclopentyl]carbonyl]amino}-2,3,4,5-tetrahydro-2-oxo-(9CI)
(CA INDEX NAME)

182560-97-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-4-phenylbutyl} cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,a-(phenylmethyl) ester (9CI) (CA INDEX NAME)

182821-33-6 CAPLUS

HR-1-Benzzepine-1-acetic acid, 3-[[[1-[(2R)-2-carboxy-4-(1-naphthalenyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9C1) [CA INDEX NAME)

Absolute stereochemistry.

ANSWER 20 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

204781-64-6 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-3-phenylproyx])-cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry

204781-65-7 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[1-[2-carboxy-3-(4-methylphenyl)propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(9CI) (CA INDEX NAME)

204781-69-1 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, disodium salt, [S-(R\*,S\*)]- (9CI) (CA INDEX NAME)

204781-70-4 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-3-(1-naphthalenyl]propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-(SCI) (CA INDEX NAME)

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

Title compds. (I; R1 = alkoxyalkoxyalky1, phenylalky1, phenoxyalky1, etc.; R2,R3 = H or halo; R4,R5 = H, metabolism labile ester residue; 2 = CH2, O,

S) were prepared Thus, tert-Bu 3-amino-2,3,4,5-tetrahydro-2-oxo-1H-1-benzazepine-1-acetate was amidated by 1-(2-ethoxycarbonyl-4-phenylbutyl)cyclopentanecarboxylic acid (preparation each given) to give I

phenylbutyl) cyclopentanecarboxylic acid (preparation each given) to give I

= CH2CH2Ph, R2 = R3 = H, R4 = Rt, R5 = CMe3, Z = CH2). Data for in vitro and in vivo biol. activity of I were given.

IT 182560-88-TP 182560-90-TP 182560-10-8P 182560-10-18 182560-95-8P 182560-97-0P 182561-00-8P 182561-01-9F 182561-02-0P 182561-03-1P 182561-04-2P 182561-03-1P 182561-04-2P 182561-03-9P 182561-03-5P 182561-03-5P 182561-03-5P 182561-03-5P 182561-03-5P 182561-03-9P 182561-03-5P 182561-03-5P 182561-03-5P 182561-03-5P 182561-03-5P 182561-03-6P 182561-03-5P 18

182560-90-3 CAPLUS
1,5-Benzowazepine-5(2H)-acetic acid, 3-[[[1-(2-carboxy-3-(2-methoxyethoxy)propyl]cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-,

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SAEED

# 09/30/05

DOCUMENT TYPE: LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

TRIBUT THEOREMICS.				
PATENT NO.		DATE	APPLICATION NO.	DATE
		19960925	EP 1996-104265	19960318
EP 733642		20001129		
			FR, GB, GR, IE, IT, LI,	LU, NL, PT, SE
DE 19510566	A1	19960926		19950323 .
ZA 9601243		19960827		
IL 117265	A1	20000716		19960226
SK 281079		20001107		
AT 197801	E	20001215		19960318
ES 2152444	T3	20010201		19960318
PT 733642	T	20010330	PT 1996-104265	19960318
CN 1147506	A B	19970416	CN 1996-104257	19960320
CN 1059436		20001213		
RU 2159768	C2	20001127	RU 1996-105383	19960320
CA 2172354	AA	19960924	CA 1996-2172354	19960321
CA 2172354	С	20021008		
AU 9648210	A1	19961003	AU 1996-48210	19960321
AU 701271	B2	19990121		
NO 9601181	A	19960924	NO 1996-1181	19960322
JP 08269011	A2	19961015	JP 1996-66703	19960322
US 5677297	Α	19971014	US 1996-620213	19960322
CZ 289245	B6	20011212	CZ 1996-863	19960322
PL 184336	B1	20021031		
GR 3035410	T3	20010531		20010214
PRIORITY APPLN. INFO.:				19950323
OTHER SOURCE(S):	MARPAT	125:301029		
GI			-	

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)  $\alpha$ -(phenylmethyl) ester, [S-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

182560-91-4 CAPLUS
1,5-Benzoxazepine-5(2H)-acetic acid, 3-[[{1-[2-carboxy-3-{2-methoxyethoxy}-propyl]cyclopentyl]carbonyl}amino}-3,4-dihydro-4-oxo-, [5-(R\*,R\*)]- (SCI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

182560-95-8 CAPLUS
1,5-Benzothiazepine-5(2H)-acetic acid, 3-[[[1-(2-carboxy-5-(3,4-dimethoxyphenyl)pentyl]cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-(SCI) (CA INDEX NAME)

182560-97-0 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-4-phenylbutyl) cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,a-(phenylaethyl) ester (SCI) (CA INDEX NAME)

182561-00-8 CAPLUS 1H-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-4-phenylbutyl) cyclopentyl]carboxyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R\*,5\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

182561-01-9 CAPLUS

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) lH-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(4-fluorophenoxy]butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R\*,5\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

182561-05-3 CAPLUS
IH-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-3-phenylpropyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R\*,5\*)- (9CI) (CA INDEX NAME)

182561-06-4 CAPLUS
1,5-Benzoxazepine-5(ZH)-acetic acid, 3-{[[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-, [S-(R\*,S\*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

182561-07-5 CAPLUS
1H-1-Benzazepine-1-acetic scid, 3-[[[1-[2-carboxy-3-(4-methylphenyi]propyl]cyclopentyi]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (k\*,5\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

# 09/30/05

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) lH-1-Benzarepine-1-acetic acid, 3-[[[1-[2-carboxy-3-(2-methoxytehoxyl)propyl]cyclopentyl]carbonyl]anino]-2,3,4,5-tetrahydro-2-oxo-, (R\*,5\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

182561-02-0 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-{[[1-[2-carboxy-4-[1-naphthaleny]]butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, [R',S')- (9CI) (CA INDEX NAME)

Relative stereochemistry.

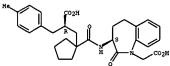
182561-03-1 CAPLUS

IH-1-Benzazepine-1-ecetic acid, 3-[[[1-(2-carboxy-5-phenoxypenty)]cyclopenty]]carboxyl amino]-2,3,4,5-tetrahydro-2-oxo-,(R\*,S\*)- (9Cl) (CA INDEX NAME)

Relative stereochemistry.

RN 182561-04-2 CAPLUS

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



182561-08-6 CAPLUS
1,5-Benzothiazepine-5(2H)-acetic acid, 3-[[[1-[2-carboxy-5-(4-methoxyphenyl)pentyl]cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-, [R-(R\*,R\*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

182561-13-3 CAPLUS lH-1-Benzazepine-1-acetic acid, 3-{[[1-[2-carboxy-3-(1-naphthalenyl)propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

182561-29-1 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-{{{1-(2-carboxy-4-phenylbutyl)cyclopentyl)carbonyl}amino]-2,3,4,5-tetrahydro-2-oxo-,(R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

Ph S S CO2H

RN 182561-30-4 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-3-[2-methoxyethoxy]propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R\*,R\*)- (9C1) (CA INDEX NAME)

Relative stereochemistry.

RN 182561-31-5 CAPLUS

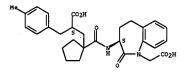
(N 1H-1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-[1-naphthalenyl]buty]]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, [x\*, x\*)- (9C1) (CA INDEX NAME)

Relative stereochemistry.

RN 182561-32-6 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[1-(2-carboxy-5-phenoxypenty1)cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-,(R\*,R\*)- (9C1) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued



RN 182561-40-6 CAPLUS
CN 1H-1-Benzazpine-1-acetic acid, 3-[[[1-[2-carboxy-3-[1-asphthaleny]]propy]]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-,(R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 182704-04-7 CAPLUS
1,5-Benzothiazepine-5(2H)-acetic acid, 3-{{[1-{2-carboxy-4-{4-fluorophenoxy}}butyl]cyclopentyl]carbonyl]amino]-ar,ar-dichloro-3,4-dihydro-4-cxo-, [R-{R\*,R\*}]- (9CI) (CA INDEX NAME)

09/30/05

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 182561-33-7 CAPLUS
CN 1H+1-Benzazepine-1-acetic acid, 3-[[[1-[2-carboxy-4-(4-fluorophenoxy)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 182561-34-8 CAPLUS
CN IH-1-Benzazepine-1-acetic acid, 3-[{[1-(2-carboxy-3-phenylpropyl)cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-,(R\*,R\*)- (9CI) (CA INDEX NAME)

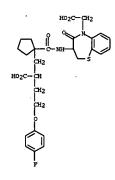
Relative stereochemistry.

RN 182561-35-9 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[{1-[2-carboxy-3-{4-methylphenyl}propyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (R\*,R\*)- (9C1) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

2 (D1-C1)

RN 182821-29-0 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-[[1-[(2R)-2-carboxy-4-phenylbutyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 182821-30-3 CAPLUS
CN 1H-1-Benzazepine-1-acetic acid, 3-{[[1-[(2S)-2-carboxy-3-(2-nethoxy+bhoxy)propy1]cyclopenty1]carbony1]amino]-2,3,4,5-tetrahydro-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

182821-31-4 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-(2-carboxy-4-phenylbutyl)cyclopentyl)carboxyl]amino]-2,3,4,5-tetrabydro-2-oxo-,
[S-(R\*,R\*)]- (9CI). (CA INDEX NAME)

Absolute stereochemistry.

182821-32-5 CAPLUS 182821-32-5 CAPLUS
1H-1-Benzazepine-1-acetic acid, 3-[[[1-{2-carboxy-3-phenylpropyl]oyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, [S-{R\*,S\*}]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

182821-33-6 CAPLUS Hel-Benzazepine-l-acetic acid, 3-[[[1-[(2R)-2-carboxy-4-(1-naphthalenyl)butyl]cyclopentyl]carbonyl]amino]-2,3,4,5-tetrahydro-2-oxo-, (35)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued) PAGE 1-A

PAGE 2-A

2 (D1-C1)

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

182821-36-9 CAPLUS
1H-1-Banzszepine-1-acetic acid, 3-{{[1-(2-carboxy-3-phenylpropyl)cyclopentyl]carbonyl]amino}-2,3,4,5-tetrahydro-2-oxo-,
[S-(R\*,R\*)]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

182821-37-0 CAPLUS
1,5-Benzothiazepine-5(2H)-acetic acid, 3-[[1-[2-carboxy-5-[4-methoxyphenyl]pentyl]cyclopentyl]carbonyl]amino]-3,4-dihydro-4-oxo-, [S-(R\*,S\*)]- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

182824-17-5 CAPLUS
1,5-Benzothiazepine-5(2H)-acetic acid, 3-[[[1-[2-carboxy-4-(4-fluorophenoxy)butyl]cyclopentyl]carbonyl]amino]-ar,ar-dichloro-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:494199 CAPLUS
DOCUMENT NUMBER: 125:184878
TITLE: Three-Dimensional Models of ACI

125:184878
Three-Dimensional Models of ACE and NEP Inhibitors and Their Use in the Design of Potent Dual ACE/NEP Inhibitors
Bohacek, Regine, De Lombaert, Stephane, McMartin, Colin, Priestle, John, Gruetter, Harkus
Pharmaceuticals Division, Ciba-Geisy Corporation, Summit, NJ, 07901, USA
Journal of the American Chemical Society (1996), 118 (35), 8231-8249
CODEN: JACSAT; ISSN: 0002-7863
American Chemical Society
Journal

AUTHOR (S): CORPORATE SOURCE:

LISHER: American Chemical Society

WENT TYPE: Journal

GUAGE: English

A composite template for angiotensin converting enzyme (ACE, EC 2.4.15.1)

inhibitors and a hypothetical model of the active site of neutral

endopeptidase (NEP, EC 3.4.24.11) have been constructed and used to guide

the design of dual ACE/NEP inhibitors. For the ACE template, a new

computer program was used to flexibly superimpose potent, conformationally

restricted ACE inhibitors. This program, which only considers the

structures of the ligands, generated three possible templates. It was

possible to evaluate the plausibility of these templates because new x-ray

data is extending the authors knowledge of the binding of ligands to zinc

metalloproteases. The authors have found that the available x-ray

structures of inhibitors complexed to different zinc metalloproteases

share certain conformational features. In each complex, the regions

between the catalytic zinc and the Pl' side chain were found to have

almost the same geometry. This geometry appears to be dictated by the

mechanism of catalysis. Only one of the templates displays this geometry

and is, therefore, proposed as a pharmacophore for ACE. To simulate NEP,

the authors used the crystal structure of the active site of thermolysin

(EC 34.42.4). These models of ACE and NEP predict that the conformation

an inhibitor must adopt to bind to ACE differs from that required for

binding to NEP. The authors have designed inhibitors in which

conformationally restricted sections are linked by a flexible hinge,

allowing the mols. to adapt to the conformation required by each enzyme.

One of these inhibitors, a tricyclic a-thiol, CGS 28106 (1), was

found to inhibit both ACE and NEP with an ICSO of 40 and 48 mM, resp. The

models predict that I binds to the S1, S2, and S3 subsites of NEP and

thermolysin and to the S1, S1, and S2 subsites of ACE. The predicted

mode of binding of I to thermolysin was exptl. verified by the

makes of Dinning of F to the molysin was expt. Verified by the maintain of the x-ray crystal structure of the thermolysin/I complex. This is the first reported three-dimensional structure of an a-thiol bound to a zinc metalloprotease. Except for a single NEF inhibitor, the models the authors propose for ACE and NEF are able to differentiate between active and inactive compds. reported in the present as well as other studies of dual ACE/NEF inhibition.
129980-23-0

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (three-dimensional models of angiotensin-converting enzyme and neutral endopspitidase inhibitors using inhibitor template and thermolysin and its use in design of potent dual inhibitors)
129980-23-0 CAPLUS
1-Tryptophan, N-[[1-(2-carboxyv-4-pheny]butv]] cyclopentyl]carboxyl- (9CI) determination of

L-Tryptophan, N-[[1-(2-carboxy-4-phenylbutyl)cyclopentyl]carbonyl]- (9СІ) (СА INDEX NAME)

#### 09893585

L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN Absolute stereochemistry. (Continued)

L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:268877 CAPLUS
DOCUMENT NUMBER: 122:46490
Tryptophans as inhibitors for formation of endothelin
TRYPETH ASSIGNEE(S): 7 Tanaka, Hiroko, Nakada, Tomohisa; Endo, Noriaki
Teijin Ltd, Japan
SOURCE: 7 Tanaka, Hiroko, Nakada, Tomohisa; Endo, Noriaki
Teijin Ltd, Japan
CODEN: JOXCAF
DOCUMENT TYPE: Patent
LANGUAGE: 1 JOXCAF
FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. JP 06279284
PRIORITY APPLN. INFO.:
OTHER SOURCE(5):
GI A2 19941004 JP 1993-95164 JP 1993-95164 19930331 19930331 MARPAT 122:46490

CONHCH (CO2R1) CH2 CH2CH (CO2R2) CH2O (CH2) 2OR3 1

The title inhibitors useful for treatment of cerebral vasospasm contain tryptophans I (R1-R3 = H, C1-6 alkyl, C3-7 cycloalkyl, PhCH2) or their pharmacol acceptable salts as active ingredients. Hydrolysis of I (R1 = R3 = Me, R2 = CMe3) in 4N HCl in dioxane at room temperature for 2 h gave

Absolute stereochemistry.

### 09/30/05

ACCESSION NUMBER:

ACCESSION NUMBER:

DOCUMENT NUMBER:

1996:51312 CAPLUS

124:164308

Hydration in drug design. 2. Influence of local site surface shape on water binding

Hydration in drug design. 2. Influence of local site surface shape on water binding

Foorning. C. S., Dean, P. M.

DEP. Pharmacology, Univ. Cambridge, Cambridge, CB2

10J, UK

SOURCE:

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

AB If water mols. are strongly bound at a protein-ligand interface, they are unlikely to be displaced during ligand binding. Such water mols. can change the shape of the ligand binding site and thus affect strategies for drug design. To understand the nature of water binding, and factors influencing it, water mols. at the ligand binding sites of 26

ingh-resolution

influencing it, water mols. at the ligand binding sites of 26
high-resolution
protein-ligand complexes have been examined here. Water mols. bound in deep
grooves and cavities between the protein and the ligand are located in the
indentations on the protein-site surface, but not in the indentations on
the ligand surface. The majority of the water mols. bound in deep
indentations on the protein-site surface make multiple polar contacts with
the protein surface. This may indicate a strong binding of water mols. in
deep indentations on protein-site surfaces. The local shape of the site
surface may influence the binding of water mols. that mediate
protein-ligand interactions.

IT 12990-23-0
RL: EPR (Biological process): BSU (Biological study, unclassified): PRP
(Properties): BIOL (Biological study): PROC (Process)
(hydration in drug design - influence of local site surface shape on
water binding)

RN 12990-23-0 CAPLUS
CN L-Tryptophan, N-[[1-(2-carboxy-4-phenylbutyl) cyclopentyl]carbonyl]- (9CI)

L-Tryptophan, N-[[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 24 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:48723 CAPLUS
DOCUMENT NUMBER: 120:48723 LAPLUS
TITLE: 120:48723 LAPLUS and neutral endopeptidase 24.11 by a novel glutarquide derivative: X-ray structure determination of the thermolysin-inhibitor

Structure determination of the thermolysin-limibitor complex Holland, D. R., Barclay, P. L., Danilewicz, J. C., Matthews, B. W., Janes, K. Inst. Mol. Biol., Univ. Oregon, Eugene, OR, 97403, USA Biochemistry (1994), 33(1), 51-6 CODEM: BIGHAW, ISSN: 0006-2960 Journal Rendiah AUTHOR (5):

CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

AGG: English
Determination of the X-ray structure of thermolysin-inhibitor complexes has proven

Determination of the X-ray structure of thermolysin-inhibitor complexes has been useful in aiding the understanding of the mode of binding of inhibitors of related, physiol. important, mammalian zinc peptidases including neutral endopeptidase EC 3.42.11 and angiotensin-converting enzyme. Here the authors describe the mode of binding to crystalline thermolysin of N-{1-(2(R,5)-carboxy-4-phenylbutyl)cyclopentylcarbonyl-(5)-tryptophan (CCT). CCT is an analog of both candoxatrilat, a potent inhibitor of neutral endopeptidase 24.11, and of the 5-indanyl seter prodrug candoxatril, which is under clin. evaluation as a potential therapy for congestive heart failure. CCT differs from the previously studied N-carboxyalkyl dipeptide CLT [N-{1-carboxy-3-phenylpropyl}-(5)-leucyl-(5)-tryptophan) in several important respects. It has a highly constrained gem-cyclopentyl Pl' substituent and lacks the characteristic imino nitrogen substituent of CLT. The structure determination shows that, notwithstanding the conformational influence of the gem-cyclopentyl substituent, CCT binds within the active site of thermolysin in a similar manner to CLT. Although the characteristic hydrogen bond between the imino nitrogen of CLT and thermolysin is absent in CCT, the affinities of the two inhibitors for the enzyme are virtually identical. These results illustrate the importance of considering not only those hydrogen bonds that are formed in an enzyme-ligand complex but also the other hydrogen bonds that may be lost due to desolvation of the enzyme and ligand on formation of the complex. In addition, the overall conformational demands placed upon a ligand in order to achieve receptor interaction may be critically important.

125980-23-0D, complexes with thermolysin

RL: BIOL (Biological study)
(three-dimensional structure of and hydrogen bonding role in, carboxylalkyl dipeptide complexes in relation to)

L-Tryptophan, N-[[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:39409 CAPLUS

IIILE: 1994:39409

IIILE: 1993:39409 CAPLUS

IIILE: 1993:39409

IIILE: 1993:39409 CAPLUS

IIILE: 1993:39409

II

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. DATE KIND WO 9214706 A1 19920903 WO 1992-EP321 19920212 W: CA, FI, JP, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE RITY AFPLIA. INFO: GB 1991-3454 A 19910219

RW: AT, PRIORITY APPLN. OTHER SOURCE(S): GI MARPAT 118:39409

Title compds. [1, R1, R2 = H, biolabile ester residue; 1 or both of OR1, OR2 may be replaced by NH2; R1 = CHRS(CH2) nOCH2R, alkonymethyl, furfuryl, (substituted) Ph, etc., R = (halo)phenyl; R4 = H, OH; R5 = H, He; n = 0, 1] were prepared Thus, N-tert-butoxycarbonyl-(S)-proline 4-ntrophenyl ester was condensed with 1-[3-anino-2(S)-tert-butoxycarbonylpropyl] cyclopentanecarboxylic acid Na salt and the product condensed with O-benxyl-(S)-serine He ester to give (S,S)-I (R1 = CH23, R2 = He; R3 = CH2OCH2C6H4F-4) had IC50 of 4.0 + 10-9 and 1.8 + 10-8 H against angiotensin converting enzyme and neutral metalloendopeptidase, resp. 144934-64-58 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); BIOL (Biological study); PREF (Preparation) (preparation of, as antihypertensive) 144934-64-5 CAPJUS PAlanine, 2-[1-[[(1-carboxy-2-(tetrahydro-2-furanyl)ethyl]amino|carbonyl]cyclopentyl]methyl]-N-L-prolyl- (9CI) (CA INDEX NAME)

09/30/05

(Continued) ANSWER 25 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 26 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
113:191965 CAPLUS
113:191965 CAPL

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FP 359399	A1	19900314	EP 1989-308740	19890830
EP 358398	B1	19930310		
R: AT, BE, CH	, DE, ES	, FR, GB, G	R, IT, LI, LU, NL, SE	
US 4975444 IL 91460	A	19901204	US 1989-398675	19890825
IL 91460	A1	19950831		
AT 86606		19930315		19890830
ES 2054009	T3	19940801	ES 1989-308740	19890830
CA 1341046	A1	20000704	CA 1989-610165	19890901
DK 8904362	Α	19900306	DK 1989-4362	19890904
DK 175082	B1	20040524		
FI 8904158	λ	19900306	FI 1989-4158	19890904
FI 111715	B1	20030915		
NO 8903546	A	19900306	NO 1989-3546	19890904
NO 177747 NO 177747	В	19950807		
NO 177747	С	19951115		
AU 8941052		19900308	AU 1989-41052	19890904
AU 604195	B2	19901206		
HU 51293	A2	19900428	HU 1989-4562	19890904
HU 215440	В	20000428		
DD 284222	A5	19901107	DD 1989-332345	19890904
ZA 8906760	λ	19910424	ZA 1989-6760	19890904 19890904
PL 161527	B1	19930730	PL 1989-281295	19890904
RU 2012556		19940515	RU 1989-4614874	19890904
CZ 282142		19970514	CZ 1989-5108	
CN 1040986	A	19900404	CN 1989-106909	19890905
CN 1031051	В	19960221	•	
JP 02124862	A2	19900514	JP 1989-230253	19890905
JP 06060144	B4	19940810		
RU 2109322	Cl	19980410	ŘU 1993-4970	19930524
RIORITY APPLN. INFO.:			GB 1988-20844 J	19880905
			EP 1989-308740 /	19890830
TUTE COMPCT(C).	MADDAT	113-101065		

OTHER SOURCE(S):

R SOURCE(S): MARPAT 113:191965

For diagram(s), see printed CA issue.
The title compds. [1, A = atoms to complete an (un)saturated 5- or

ambred carbocyclic ring; R.R4 - H, alkyl, cycloalkyl, PhCH2, biolabile ester residus; R1 - H, alkyl; R2 - H, aryl, heterocyclyl, amido, carbamoyl, etc.; R3 - 3-indolylenthyl, 3-indazolylmethyl, (un) substituted PhCH2; Y -

(Continued) L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN

### 09/30/05

ANSWER 27 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) bond, alkylene), inhibitors of enzyme R. C. 3.4.24.11 and angiotensin converting enzyme (no data), were prepd. Thus, 1-(2-tert-butylcarbonyl-3-dibenzylaninopropyl)-1-cyclopentanearboxylic acid was condensed with (5)-4-HDCGH4CH(HH2)COZHe3 to give cyclopentanearabonyltyrosine ester (5)-1I [R = R4 = R5 = CMe3, R2 = N(CH2Fh)2] which was N-deprotected and the product condensed with (5)-QOH [Q = RGH1(CH2)4CH(HHR6)CO: R6 = COZCH2Fh) to give (5, 5,5)-1I (R2 = NHQ) (III; R, R4, and R5 same as above, R6 = COZCH2Fh). The latter was deprotected in 2 steps to give III [R = R4 = R5 = R6 = H).

129980-16-19 129980-20-07P 129980-23-09

RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of cardiovascular agents) 129980-16-1 CAPLUS

1H-Indazole-3-propanoic acid, a-[{[1-(2-carboxypentyl)cyclopentyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

129980-20-7 CAPLUS L-Tryptophan, N-[[1-(2-carboxypentyl)cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L-Tryptophan, N-{[1-(2-carboxy-4-phenylbuty1)cyclopenty1]carbony1]- (9CI) (CA INDEX NAME) 129980-23-0 CAPLUS

Absolute stereochemistry.

L4 ANSYER 28 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
11990:405779 CAPLUS
113:5779
Spiro-substituted glutaramides as diuretics
Danlewicz, John Christopher
Pfizer Ltd., UK
SOURCE:
BOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY AC

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE PRIORITY NO. AIR UNIE APPLICATION NO. DATE

OF 2218983 Al 19891129 GB 1988-12596 19880527

PRIORITY APPLM. INFO.: GASREACT 113:5779, HARPAT 113:5779

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R = H, Cl-6 alkyl, PhCH2, ester residue; R1 = H, Cl-4 alkyl; R5 = substituent; A completes a 4-7-membered saturated or mono-unsatd. carbocyclic ring which may be optionally fused to a further saturated or unsatd. S- or 6-membered carbocyclic ring, X = Q wherein R2, R3 =

R3 = H, OH, C1-4 alkyl, alkoxy; R4 = H, C2-6 alkyl, PhCH2, ester residue; Y = O, CH2, CH2CH2, Q1 (wherein m, n = 1, 2; q = 3-5)], useful as diuretics in treating such cardiovascular disorders as hypertension and heart failure, are prepared 1-Ethyl-3-(dimethylamino)propylcarbodiimide HCl was added to a stirred mixture of ester II (preparation given), ester salt III (preparation give),
1-hydroxybenzotriazole, and N-methylmorpholine in CH2C12 under cooling and stirred at room temperature to give 85% IV. Also prepared were 23 addnl. I

many intermediates. The suitable dose is 10-1500 mg/day for adults.
127283-34-5P 127283-36-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as diuretic)
127283-34-5 CAPUS

12/28-34-5 CAPLUS
Cyclopentanepropenoic acid, 1-[[[6-(hydroxymethyl)-7-oxabicyclo[2.2.1]hept2-yl]amino|carbonyl]-a-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

12723-36-7 CAPLUS
7-Osabicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[[1-(2-carboxy-4-mathoxybutyl)cyclopentyl]carboxyl]amino]- (SCI) (CA INDEX NAME)

14 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

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